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PUBLISHED INTERNATIONAL APPLICATION

- (11) WO 00/52015
- (13) A2
- (21) PCT/US00/05672
- (22) 03 March 2000 (03.03.2000)
- (25) ENG

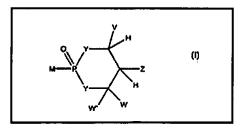
- (26) ENG
- (31) 60/123,013
- (32) 05 March 1999
- (33) US

- (05.03.1999)
- (31) 60/153,127
- (32) **08 September 1999** (08.09.1999)
- (33) US

- (43) 08 September 2000 (08.09.2000)
- (51)⁷ C07F 9/02
- (54) NOVEL PHOSPHORUS-CONTAINING PRODRUGS
- (71) **METABASIS THERAPEUTICS, INC.** 9390 Towne Centre Drive, San Diego, CA 92121; (US). [US/US].
- (72) ERION, Mark, D. 13455 Mango Drive, Del Mar, CA 92104; (US).
 REDDY, K., Raja 4146 Federman Lane, San Diego, CA 92130; (US).
 BOYER, Serge, H. 5112 Castle Hils Drive, San Diego, CA 92109; (US).
- (74) **WOLFF, Jessica, R.** Brobeck, Phleger & Harrison, 550 West C Street, Suite 1300, San Diego, CA 92101; (US).
- (81) AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW; AP (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW); EA (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM); EP (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE); OA (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG)

Abstract

Novel cyclic phosphoramidate prodrugs of drugs of formula (I), their use in delivery of drugs to the liver, their use in enhancing oral bioavailability, and their method of preparation are described.



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chain nodes :
   7 10 15 27 41 42 43
ring nodes :
   1 2 3 4 5 6
ring/chain nodes :
   8 9 11 12 13 14 16 17 18 19 20 21 22 23 24 25 26 28 29 30
chain bonds :
   3-7 5-43 6-41 6-42 8-10 13-14 13-15 18-27
ring/chain bonds :
   8-9 17-18 19-20 20-28 21-22 22-23 23-29 24-25 25-26 26-30
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
   1-2 1-6 2-3 3-4 3-7 4-5 5-6 6-41 8-9 13-14 17-18 18-27 19-20 20-28 21-22
   22-23 23-29 24-25 25-26 26-30
exact bonds :
   5-43 6-42 8-10 13-15
G1: [*1], [*2], [*3], [*4], [*5], [*6], [*7], [*8], [*9]
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
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23:CLASS

11:CLASS 12:CLASS 13:CLASS 14:CLASS

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                 Access via Tymnet and SprintNet Eliminated Effective 3/31/02
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                 Gene Names now available in BIOSIS
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NEWS
                 TOXLIT no longer available
      7 Mar 22
                 TRCTHERMO no longer available
NEWS 8 Mar 22
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                 and USPATFULL
                 LIPINSKI/CALC added for property searching in REGISTRY
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              February 1 CURRENT WINDOWS VERSION IS V6.0d,
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SAMPLE SEARCH INITIATED 11:27:32 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 4 TO 200 PROJECTED ANSWERS: 2 TO 124

1.2 2 SEA SSS SAM L1

=> d scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN 2,4(1H,3H)-Pyrimidinedione, 1-[[[2-[bis(2-chloroethy1)amino]tetrahydro-2oxido-2H-1,3,2-oxazaphosphorin-5-yl]oxy]methyl]- (9CI)

MF C12 H19 Cl2 N4 O5 P

$$\begin{array}{c|c} \text{C1CH}_2-\text{CH}_2\\ \text{C1CH}_2-\text{CH}_2-\text{N} & \text{H} & \text{O} & \text{H} \\ \text{O} & \text{O}-\text{CH}_2-\text{N} & \text{O} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l1 sss full

FULL SEARCH INITIATED 11:28:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 153 TO ITERATE

100.0% PROCESSED 153 ITERATIONS

SEARCH TIME: 00.00.03

L3 33 SEA SSS FUL L1

=> d 1-33 ide cbib pi

33 ANSWERS

L3 ANSWER 1 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 209266-78-4 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-5-ol, 3-(2-chloroethyl)-2-[(2-chloroethyl)amino]tetrahydro-, 2-oxide, (2S)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C7 H15 Cl2 N2 O3 P

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:75953 Effects of phenobarbital on stereoselective metabolism of ifosfamide in rats. Lu, Hong; Wang, Jeff J.; Chan, Kenneth K.; Young, Donn (Colleges of Pharmacy and Medicine, Comprehensive Cancer Center, The Ohio State University, Columbus, OH, 43210, USA). Drug Metabolism and Disposition, 26(5), 476-482 (English) 1998. CODEN: DMDSAI.

ISSN: 0090-9556. Publisher: Williams & Wilkins.

L3 ANSWER 2 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 209266-77-3 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-5-ol, 3-(2-chloroethyl)-2-[(2-chloroethyl)amino]tetrahydro-, 2-oxide, (2R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C7 H15 Cl2 N2 O3 P

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:75953 Effects of phenobarbital on stereoselective metabolism of ifosfamide in rats. Lu, Hong; Wang, Jeff J.; Chan, Kenneth K.; Young, Donn (Colleges of Pharmacy and Medicine, Comprehensive Cancer Center, The Ohio State University, Columbus, OH, 43210, USA). Drug Metabolism and Disposition, 26(5), 476-482 (English) 1998. CODEN: DMDSAI.

ISSN: 0090-9556. Publisher: Williams & Wilkins.

L3 ANSWER 3 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN180600-07-1 REGISTRY

CN 8-0xa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-bis[[3-(hydroxymethyl) phenyl] methyl] -3,6-bis (phenylmethyl) -, 1-oxide, [1S-(3-endo,4-endo,6-exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C34 H37 N2 O5 P

SR CA

LCSTN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113. PATENT NO.

KIND DATE -----

APPLICATION NO. DATE

-----ΡI US 5543517 Α 19960806 US 1994-181117 19940113 L3 ANSWER 4 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-06-0 REGISTRY

CN 8-Oxa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-bis[(4-hydroxyphenyl)methyl]-3,6-bis(phenylmethyl)-, 1-oxide,
[1S-(3-endo,4-endo,6-exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H33 N2 O5 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)

2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:131542 Novel bicyclic phosphordiamidate HIV protease inhibitors. Smallheer, Joanne M.; Seitz, Steven P. (The DuPont Merck Pharm. Co., Inc., Wilmington, DE, 19808, USA). Heterocycles, 43(11), 2367-2376 (English) 1996. CODEN: HTCYAM. ISSN: 0385-5414. Publisher: Japan Institute of Heterocyclic Chemistry.

REFERENCE 2: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113. PATENT NO. KIND DATE APPLICATION NO. DATE

APPLICATION NO. DATE

L3 ANSWER 5 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-05-9 REGISTRY

CN 8-Oxa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-bis[[3-(methylamino)phenyl]methyl]-3,6-bis(phenylmethyl)-, 1-oxide, [1S-(3-endo,4-endo,6-exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C34 H39 N4 O3 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113. PATENT NO. KIND DATE APPLICATION NO. DATE

L3 ANSWER 6 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-04-8 REGISTRY

CN 8-0xa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-bis[[4-(hydroxymethyl)phenyl]methyl]-3,6-bis(phenylmethyl)-, 1-oxide, [1S-(3-endo,4-endo,6-exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C34 H37 N2 O5 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:131542 Novel bicyclic phosphordiamidate HIV protease inhibitors. Smallheer, Joanne M.; Seitz, Steven P. (The DuPont Merck Pharm. Co., Inc., Wilmington, DE, 19808, USA). Heterocycles, 43(11), 2367-2376 (English) 1996. CODEN: HTCYAM. ISSN: 0385-5414. Publisher: Japan Institute of Heterocyclic Chemistry.

REFERENCE 2: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113. PATENT NO. KIND DATE APPLICATION NO. DATE

L3 ANSWER 7 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-03-7 REGISTRY

CN 8-Oxa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,3,6,7tetrakis(phenylmethyl)-, 1-oxide, [1S-(3-endo,4-endo,6-exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H33 N2 O3 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:131542 Novel bicyclic phosphordiamidate HIV protease inhibitors. Smallheer, Joanne M.; Seitz, Steven P. (The DuPont Merck Pharm. Co., Inc., Wilmington, DE, 19808, USA). Heterocycles, 43(11), 2367-2376 (English) 1996. CODEN: HTCYAM. ISSN: 0385-5414. Publisher: Japan Institute of Heterocyclic Chemistry.

REFERENCE 2: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113. PATENT NO. KIND DATE APPLICATION NO. DATE

L3 ANSWER 8 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-02-6 REGISTRY

CN 8-Oxa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-dibutyl-3,6-bis(phenylmethyl)-, 1-oxide, [1S-(3-endo,4-endo,6-exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C26 H37 N2 O3 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:131542 Novel bicyclic phosphordiamidate HIV protease inhibitors. Smallheer, Joanne M.; Seitz, Steven P. (The DuPont Merck Pharm. Co., Inc., Wilmington, DE, 19808, USA). Heterocycles, 43(11), 2367-2376 (English) 1996. CODEN: HTCYAM. ISSN: 0385-5414. Publisher: Japan Institute of Heterocyclic Chemistry.

REFERENCE 2: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113.

PATENT NO. KIND DATE APPLICATION NO. DATE

L3 ANSWER 9 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-01-5 REGISTRY

CN 8-Oxa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-bis(2-naphthalenylmethyl)-3,6-bis(phenylmethyl)-, 1-oxide,

[1S-(3-endo, 4-endo, 6-

exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C40 H37 N2 O3 P

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)
- REFERENCE 1: 126:131542 Novel bicyclic phosphordiamidate HIV protease inhibitors. Smallheer, Joanne M.; Seitz, Steven P. (The DuPont Merck Pharm. Co., Inc., Wilmington, DE, 19808, USA). Heterocycles, 43(11), 2367-2376 (English) 1996. CODEN: HTCYAM. ISSN: 0385-5414. Publisher: Japan Institute of Heterocyclic Chemistry.

REFERENCE 2: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113. PATENT NO. KIND DATE APPLICATION NO. DATE

L3 ANSWER 10 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-00-4 REGISTRY

CN 8-Oxa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-bis(cyclopropylmethyl)-3,6-bis(phenylmethyl)-, 1-oxide, [1S-(3-endo,4-endo,6-exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C26 H33 N2 O3 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 2 REFERENCES IN FILE CA (1967 TO DATE)
- 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:131542 Novel bicyclic phosphordiamidate HIV protease inhibitors. Smallheeer, Joanne M.; Seitz, Steven P. (The DuPont Merck Pharm. Co., Inc., Wilmington, DE, 19808, USA). Heterocycles, 43(11), 2367-2376 (English) 1996. CODEN: HTCYAM. ISSN: 0385-5414. Publisher: Japan Institute of Heterocyclic Chemistry.

REFERENCE 2: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113. PATENT NO. KIND DATE APPLICATION NO. DATE

L3 ANSWER 11 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 143492-72-2 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)tetrahydro-5-(phenylmethoxy)-, 2-oxide, (2R-trans)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C14 H21 Cl2 N2 O3 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS

(*File contains numerically searchable property data)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:151065 Asymmetric synthesis of 2-(bis(2-chloroethyl)amino)-

5-benzyloxytetrahydro-2H-1,3,2-oxazaphosphorine-2-oxide. Chen, Ruyu; Zhang, Ming (Inst. Elem. Org. Chem., Nankai Univ., Tianjin, 300071, Peop. Rep. China). Gaodeng Xuexiao Huaxue Xuebao, 13(3), 352-4 (Chinese) 1992. CODEN: KTHPDM. ISSN: 0251-0790.

L3 ANSWER 12 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 143442-21-1 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)tetrahydro-5-(phenylmethoxy)-, 2-oxide, (2S-cis)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C14 H21 Cl2 N2 O3 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS

(*File contains numerically searchable property data)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:151065 Asymmetric synthesis of 2-(bis(2-chloroethyl)amino)-

5-benzyloxytetrahydro-2H-1,3,2-oxazaphosphorine-2-oxide. Chen, Ruyu; Zhang, Ming (Inst. Elem. Org. Chem., Nankai Univ., Tianjin, 300071, Peop. Rep. China). Gaodeng Xuexiao Huaxue Xuebao, 13(3), 352-4 (Chinese) 1992. CODEN: KTHPDM. ISSN: 0251-0790.

L3 ANSWER 13 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-82-0 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-4-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-, 2-oxide, (2.alpha.,4.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C8 H17 Cl2 N2 O4 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER

(*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 14 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-81-9 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-4-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-, 2-oxide, (2.alpha.,4.beta.,5.beta.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C8 H17 Cl2 N2 O4 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER (*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 15 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-80-8 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-4-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-, 2-oxide, (2.alpha.,4.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C8 H17 Cl2 N2 O4 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER

(*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 16 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-79-5 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-4-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-, 2-oxide, (2.alpha.,4.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C8 H17 Cl2 N2 O4 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER

(*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 17 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-78-4 REGISTRY

CN Hydroperoxide,

2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-2-oxido-2H-

1,3,2-oxazaphosphorin-4-yl, (2.alpha.,4.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3,2-Oxazaphosphorin, hydroperoxide deriv.

CN Hydroperoxide, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-2H-1,3,2-oxazaphosphorin-4-yl, P-oxide, (2.alpha.,4.alpha.,5.beta.)-

MF C8 H17 Cl2 N2 O5 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER (*File contains numerically searchable property data)

$$\begin{array}{c|c} H & \nearrow \\ N & \nearrow \\ N - CH_2 - CH_2C1 \\ MeO & CH_2 - CH_2C1 \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 18 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-77-3 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)tetrahydro-5-(phenylmethoxy)-, 2-oxide, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

DR 85880-48-4

MF C14 H21 Cl2 N2 O3 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER (*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 19 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-76-2 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-5-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-, 2-oxide, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

DR 85880-51-9

MF C7 H15 Cl2 N2 O3 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER

(*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 20 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-75-1 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)tetrahydro-5-(phenylmethoxy)-, 2-oxide, cis- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

DR 85880-47-3

MF C14 H21 Cl2 N2 O3 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER (*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 21 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-74-0 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-5-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-, 2-oxide, cis- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

DR 85880-50-8

MF C7 H15 Cl2 N2 O3 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER

(*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 22 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 130278-00-1 REGISTRY

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[[2-[bis(2-chloroethyl)amino]tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-5-yl]oxy]methyl]- (9CI) (CA INDEX NAME) OTHER CA INDEX NAMES:

CN 2,4(1H,3H)-Pyrimidinedione,

1-[[[2-[bis(2-chloroethyl)amino]tetrahydro-2H-

1,3,2-oxazaphosphorin-5-yl]oxy]methyl]-, P-oxide

CN 2H-1,3,2-Oxazaphosphorine, 2,4(1H,3H)-pyrimidinedione deriv.

FS 3D CONCORD

MF C12 H19 C12 N4 O5 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT (*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 113:212552 The syntheses of purine and pyrimidine secoribonucleosides. Acyclouridine derivative of cyclophosphamide. Zakerinia, Maryam; Davary, Hady; Hakimelahi, Gholam H. (Chem. Dep., Shiraz

Univ., Shiraz, Iran). Helv. Chim. Acta, 73(4), 912-15 (English) 1990. CODEN: HCACAV. ISSN: 0018-019X.

L3 ANSWER 23 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 105047-74-3 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-4-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-, 2-oxide (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C8 H17 Cl2 N2 O4 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER

(*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 105:183425 Synthesis of reactive metabolite-analogs of cyclophosphamide for comparisons of NMR kinetic parameters and anticancer screening data. Ludeman, S. M.; Boyd, V. L.; Regan, J. B.; Gallo, K. A.; Zon, G.; Ishii, K. (Dep. Chem., Cathol. Univ. America, Washington, DC, 20064, USA). Drugs Exp. Clin. Res., 12(6-7), 527-32 (English) 1986. CODEN: DECRDP. ISSN: 0378-6501.

L3 ANSWER 24 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 105028-37-3 REGISTRY

CN Hydroperoxide,

2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3,2-Oxazaphosphorin, hydroperoxide deriv.

CN Hydroperoxide, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-2H-1,3,2-oxazaphosphorin-4-yl, P-oxide

FS 3D CONCORD

MF C8 H17 Cl2 N2 O5 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER (*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 112:77337 Fast-atom-bombardment mass spectra of 5-substituted-4-hydroperoxycyclophosphamides. Phillips, Lawrence R.; Zon,

Gerald; Bone, William M.; Boyd, Victoria L.; Ludeman, Susan M. (Cent. Biol. Eval. Res., FDA, Bethesda, MD, 20892, USA). Org. Mass Spectrom., 24(5), 347-9 (English) 1989. CODEN: ORMSBG. ISSN: 0030-493X.

REFERENCE 2: 105:183425 Synthesis of reactive metabolite-analogs of cyclophosphamide for comparisons of NMR kinetic parameters and anticancer screening data. Ludeman, S. M.; Boyd, V. L.; Regan, J. B.; Gallo, K. A.; Zon, G.; Ishii, K. (Dep. Chem., Cathol. Univ. America, Washington, DC, 20064, USA). Drugs Exp. Clin. Res., 12(6-7), 527-32 (English) 1986. CODEN: DECRDP. ISSN: 0378-6501.

L3 ANSWER 25 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 99623-69-5 REGISTRY

CN Hydroperoxide, 2-[bis(2-chloroethyl)amino]tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-5-yl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3,2-Oxazaphosphorine, hydroperoxide deriv.

CN Hydroperoxide, 2-[bis(2-chloroethyl)amino]tetrahydro-2H-1,3,2-oxazaphosphorin-5-yl-, P-oxide

FS 3D CONCORD

MF C7 H15 Cl2 N2 O4 P

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 3 REFERENCES IN FILE CA (1967 TO DATE)
- 3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 125:220908 Preferred conformations of cyclophosphamide: a theoretical study. Denny, Brian J.; Schwalbe, Carl H. (Pharm. Sci. Inst.,

Aston Univ., Birmingham, B4 7ET, UK). Pharm. Sci., 2(1), 29-32 (English) 1996. CODEN: PHSCFB. ISSN: 1356-6881.

REFERENCE 2: 109:47920 Changes in chemosensitivity of K 562 leukemia cells after induction of erythroid differentiation by hemin. Toffoli, Giuseppe;

Lorico, Aurelio; Boiocchi, Mauro (Cent. Riferimento Oncol., Pordenone, 33081, Italy). Tumori, 74(1), 11-17 (English) 1988. CODEN: TUMOAB. ISSN: 0300-8916.

REFERENCE 3: 104:28473 Scheduling effects of vinblastine containing 2-drug combinations on normal bone marrow and tumor progenitor cells. Hug, Verena; Spitzer, G.; Drewinko, B.; Johnston, D.; Thames, H.; Blumenschein.

G. (Med. Breast Serv., Anderson Hosp., Houston, TX, 77030, USA). Proc. Int. Congr. Chemother., 13th, Volume 18, 291/39-291/42. Editor(s): Spitzy, K. H.; Karrer, K. Verlag H. Egermann: Vienna, Austria. (English) 1983. CODEN: 53XPA8.

L3 ANSWER 26 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85880-46-2 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-5-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-, 2-oxide, (2S-trans)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C7 H15 Cl2 N2 O3 P

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER

(*File contains numerically searchable property data)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 A1 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 72531	A1	19830223	EP 1982-107270	19820811
	R: AT, BE,	CH, DE	, FR, GB, IT,	LI, LU, NL, SE	
	DE 3132221	A1	19830519	DE 1981-3132221	19810814
	ES 514852	A1	19831101	ES 1982-514852	19820809
	DK 8203647	Α	19830215	DK 1982-3647	19820813
	AU 8287170	A1	19830217	AU 1982-87170	19820813
	JP 58041892	A2	19830311	JP 1982-139982	19820813
	ZA 8205876	Α	19830629	ZA 1982-5876	19820813
	HU 27654	0	19831028	HU 1982-2614	19820813

L3 ANSWER 27 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85880-45-1 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)tetrahydro-5-(phenylmethoxy)-, 2-oxide, (2S-trans)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

DR 143396-65-0

MF C14 H21 Cl2 N2 O3 P

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER

(*File contains numerically searchable property data)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)

2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:151065 Asymmetric synthesis of 2-(bis(2-chloroethyl)amino)-

5-benzyloxytetrahydro-2H-1,3,2-oxazaphosphorine-2-oxide. Chen, Ruyu; Zhang, Ming (Inst. Elem. Org. Chem., Nankai Univ., Tianjin, 300071, Peop. Rep. China). Gaodeng Xuexiao Huaxue Xuebao, 13(3), 352-4 (Chinese) 1992. CODEN: KTHPDM. ISSN: 0251-0790.

REFERENCE 2: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 A1 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

P.F	ATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EF	72531	A1	19830223	EP 1982-107270	19820811
	R: AT, BE,	CH, DE	, FR, GB, IT,	LI, LU, NL, SE	
DE	3132221	A1	19830519	DE 1981-3132221	19810814
ES	5 514852	A1	19831101	ES 1982-514852	19820809
DK	8203647	Α	19830215	DK 1982-3647	19820813
AU	J 8287170	A1	19830217	AU 1982-87170	19820813
JF	58041892	A2	19830311	JP 1982-139982	19820813
ZA	8205876	Α	19830629	ZA 1982-5876	19820813
HU	7 27654	0	19831028	HU 1982-2614	19820813

L3 ANSWER 28 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85820-97-9 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)-5-(decyloxy)tetrahydro-, 2-oxide, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H35 Cl2 N2 O3 P

LC STN Files: CA, CAPLUS, TOXCENTER

Relative stereochemistry.

$$\begin{array}{c} \text{CH}_2\text{Cl} \\ \text{H} \\ \text{N} \\ \text{R} \\ \text{O} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 A1 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 72531	A1	19830223	EP 1982-107270	19820811
	R: AT, BE,	CH, DE	, FR, GB, IT,	LI, LU, NL, SE	
	DE 3132221	A1	19830519	DE 1981-3132221	19810814
	ES 514852	A1	19831101	ES 1982-514852	19820809
	DK 8203647	Α	19830215	DK 1982-3647	19820813
	AU 8287170	A1	19830217	AU 1982-87170	19820813
	JP 58041892	A2	19830311	JP 1982-139982	19820813
	ZA 8205876	Α	19830629	ZA 1982-5876	19820813
	HU 27654	0	19831028	HU 1982-2614	19820813

L3 ANSWER 29 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85820-96-8 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)-5-(decyloxy)tetrahydro-, 2-oxide, cis- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H35 Cl2 N2 O3 P

LC STN Files: CA, CAPLUS, TOXCENTER

Relative stereochemistry.

$$\begin{array}{c} \text{CH}_2\text{Cl} \\ \text{N} \\ \text{R} \\ \text{N} \\ \text{CH}_2\text{Cl} \\ \text{CH}_2\text{Cl} \\ \text{Me} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 Al 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

PA	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP	72531	A1	19830223	EP 1982-107270	19820811
	R: AT, BE,	CH, DE	, FR, GB, IT,	LI, LU, NL, SE	
DE	3132221	A1	19830519	DE 1981-3132221	19810814
ES	514852	A 1	19831101	ES 1982-514852	19820809
DK	8203647	Α	19830215	DK 1982-3647	19820813
AU	8287170	A1	19830217	AU 1982-87170	19820813
JP	58041892	A2	19830311	JP 1982-139982	19820813
ZA	8205876	Α	19830629	ZA 1982-5876	19820813
HU	27654	0	19831028	HU 1982-2614	19820813

L3 ANSWER 30 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85820-95-7 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)-5-(hexadecyloxy)tetrahydro-, 2-oxide, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C23 H47 Cl2 N2 O3 P

LC. STN Files: CA, CAPLUS, TOXCENTER

Relative stereochemistry.

$$\begin{array}{c} \text{CH}_2\text{Cl} \\ \text{H} \\ \text{N} \\ \text{R} \\ \text{O} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 A1 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

PA'	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP	72531	A1	19830223	EP 1982-107270	19820811
	R: AT, BE, (CH, DE	, FR, GB, IT,	LI, LU, NL, SE	
DE	3132221	A1	19830519	DE 1981-3132221	19810814
ES	514852	A1	19831101	ES 1982-514852	19820809
DK	8203647	A	19830215	DK 1982-3647	19820813
AU	8287170	A1	19830217	AU 1982-87170	19820813
JP	58041892	A2	19830311	JP 1982-139982	19820813
ZA	8205876	Α	19830629	ZA 1982-5876	19820813
HU	27654	0	19831028	HU 1982-2614	19820813

L3 ANSWER 31 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85820-94-6 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethy1)-5-(hexadecyloxy)tetrahydro-, 2-oxide, cis- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C23 H47 Cl2 N2 O3 P

LC STN Files: CA, CAPLUS, TOXCENTER

Relative stereochemistry.

$$\begin{array}{c} \text{CH}_2\text{Cl} \\ \text{H} \\ \text{N} \\ \text{R} \\ \text{N} \\ \text{CH}_2\text{Cl} \\ \text{CH}_2\text{Cl} \\ \text{Me} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 Al 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	EP 72531	A1	19830223	EP 1982-107270	19820811
	R: AT, BE,	CH, DE	, FR, GB, IT,	LI, LU, NL, SE	
	DE 3132221	A1	19830519	DE 1981-3132221	19810814
	ES 514852	A1	19831101	ES 1982-514852	19820809
	DK 8203647	A	19830215	DK 1982-3647	19820813
	AU 8287170	A1	19830217	AU 1982-87170	19820813
	JP 58041892	A2	19830311	JP 1982-139982	19820813
	ZA 8205876	A	19830629	ZA 1982-5876	19820813
	HU 27654	0	19831028	HU 1982-2614	19820813

L3 ANSWER 32 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85820-89-9 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-5-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-, 2-oxide, (2R-cis)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C7 H15 Cl2 N2 O3 P

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 A1 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

		PA	TENT	NO.		KII	ND	DATE			AP	PLICAT	ION N	ο.	DATE	
									-							
]	PΙ	EP	7253	1		A:	1	1983	0223		EP	1982-1	L0727	0	19820	811
			R:	,	BE,	CH,	DE	, FR,	GB,	IT,	LI,	LU, NL,	SE			
		DΕ	3132	221		A:	1	1983	0519		DE	1981-3	31322	21	19810	814
		ES	5148	52		A.	l	1983	1101		ES	1982-5	1485	2	19820	809
		DK	8203	647		Α		1983	0215		DK	1982-3	647		19820	813
		ΑU	8287	170		A:	1	1983	0217		AU	1982-8	37170		19820	813
		JP	5804	1892		A2	2	1983	0311		JP	1982-1	3998	2	19820	813
		ZA	8205	876		Α		1983	0629		ZA	1982-5	876		19820	813
		HU	2765	4		0		1983	1028		HU	1982-2	614		19820	813

L3 ANSWER 33 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85820-88-8 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)tetrahydro-5-(phenylmethoxy)-, 2-oxide, (2R-cis)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

DR 143396-64-9

MF C14 H21 Cl2 N2 O3 P

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER (*File contains numerically searchable property data)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 2 REFERENCES IN FILE CA (1967 TO DATE)
- 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:151065 Asymmetric synthesis of 2-(bis(2-chloroethyl)amino)-

5-benzyloxytetrahydro-2H-1,3,2-oxazaphosphorine-2-oxide. Chen, Ruyu; Zhang, Ming (Inst. Elem. Org. Chem., Nankai Univ., Tianjin, 300071, Peop. Rep. China). Gaodeng Xuexiao Huaxue Xuebao, 13(3), 352-4 (Chinese) 1992. CODEN: KTHPDM. ISSN: 0251-0790.

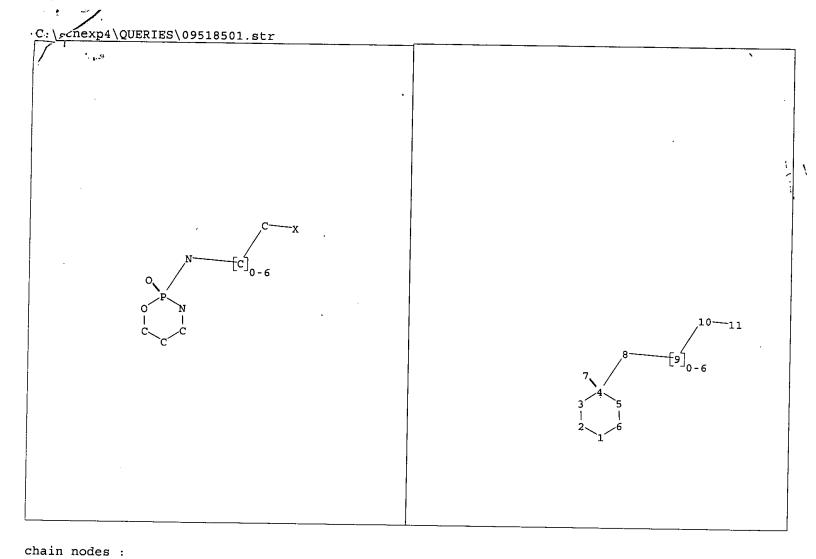
REFERENCE 2: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 Al 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

	TREORETT. DE 17	01 3132221 13010014.	.9010014.					
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE				
ΡI	EP 72531	A1 19830223	EP 1982-107270	19820811				
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	AU 8287170	A1 19830217	AU 1982-87170	19820813				
	JP 58041892	A2 19830311	JP 1982-139982	19820813				
	ZA 8205876	A 19830629	ZA 1982-5876	19820813				
	HU 27654	0 19831028	HU 1982-2614	19820813				

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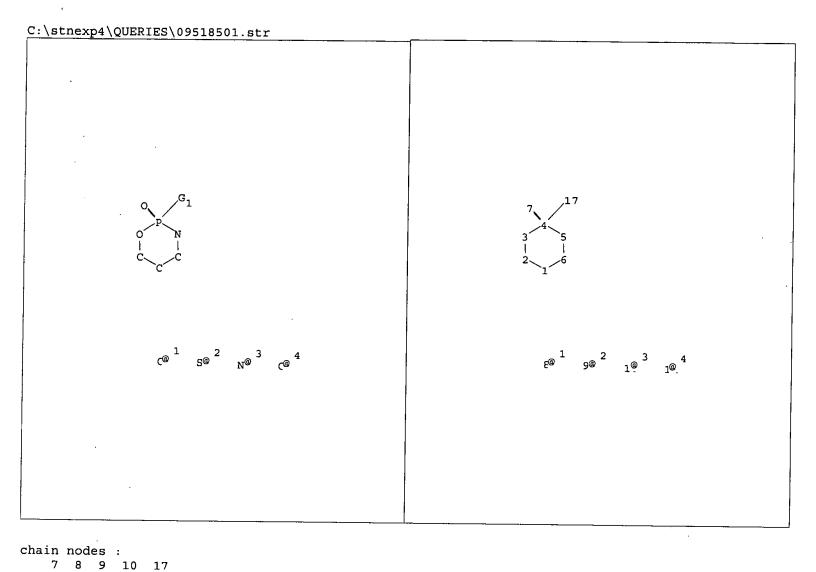
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ring bonds:
 1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds:
 1-2 1-6 2-3 3-4 4-5 4-7 4-8 5-6 8-9
exact bonds:
 9-10 10-11

7 8 9 10 11

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS



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11:CLASS 17:CLASS

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100.0% PROCESSED 126 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

50 ANSWERS

957 ANSWERS

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BATCH **COMPLETE**

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L4STRUCTURE UPLOADED

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100.0% PROCESSED 1016 ITERATIONS SEARCH TIME: 00.00.02

L5957 SEA SUB=L3 SSS FUL L4

=> s 13 not 15

L6 998 L3 NOT L5

=> d scan

L6 998 ANSWERS REGISTRY COPYRIGHT 2001 ACS

2H-1,3,2-Oxazaphosphorine, 5-bromotetrahydro-2-phenoxy-, 2-oxide (9CI) IN

MF C9 H11 Br N O3 P

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L6 998 ANSWERS REGISTRY COPYRIGHT 2001 ACS IN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-(2-iodobenzoyl)-3-(phenylmethyl)-, 2-oxide (9CI)
MF C17 H17 I N O3 P

L6 998 ANSWERS REGISTRY COPYRIGHT 2001 ACS

IN 2H-1,3,2-Oxazaphosphorine, tetrahydro-3-(phenylmethyl)-2-propyl-, 2-oxide (9CI)

MF C13 H20 N O2 P

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 167.54 167.69

FULL ESTIMATED COST

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=> s 16 L7 370 L6

=> s 17 and p/dt 3248925 P/DT

L8 45 L7 AND P/DT

=> d 1-45 cbib pi hitstr

L8 ANSWER 1 OF 45 CAPLUS COPYRIGHT 2001 ACS 2001:460146 Document No. 135:33567 Method of obtaining racemic and chiral

derivatives of 2-thio-1,3,2-oxazaphosphorinane. Mikolajczyk, Marian; Luczak, Jerzy (Polska Akademia Nauk, Centrum Badan Molekularnych i Makromolekularnych, Pol.). Pol. PL 178668 B1 20000531, 5 pp. (Polish). CODEN: POXXA7. APPLICATION: PL 1995-308686 19950519.

PATENT NO. KIND DATE APPLICATION NO. DATE

PI PL 178668 B1 20000531 PL 1995-308686 19950519

IT 343581-46-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and ion exchange of)

RN 343581-46-4 CAPLUS

CN Methanaminium, N,N,N-trimethyl-, salt with (+)-tetrahydro-2-hydroxy-2H-1,3,2-oxazaphosphorine 2-sulfide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 343581-45-3 CMF C3 H7 N O2 P S

Rotation (+).

CM 2

CRN 51-92-3 CMF C4 H12 N

IT 343581-47-5P

RN 343581-47-5 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-mercapto-, 2-oxide, monosodium salt, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

Na

L8 ANSWER 2 OF 45 CAPLUS COPYRIGHT 2001 ACS 2000:628142 Document No. 133:213194 Novel phosphorus-containing prodrugs.

Erion, Mark D.; Reddy, K. Raja; Boyer, Serge H. (Metabasis Therapeutics, Inc., USA). PCT Int. Appl. WO 2000052015 A2 20000908, 202 pp. DESIGNATED

STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English).

CODEN: PIXXD2. APPLICATION: WO 2000-US5672 20000303. PRIORITY: US 1999-PV123013 19990305; US 1999-PV153127 19990908.

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2000052015 A2 20000908 WO 2000-US5672 20000303

WO 2000052015 **A3** 20010215 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG IT 261370-70-1P 290362-15-1P 290362-16-2P RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (phosphoramidate prodrugs) RN 261370-70-1 CAPLUS 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-CN phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 290362-15-1 CAPLUS
CN 9H-Purin-6-amine, 9-[5-0-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-16-2 CAPLUS CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-[[2-[(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)oxy]ethoxy]methyl]- (9CI) (CA INDEX NAME)

RN 290362-25-3 CAPLUS CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-3-methyl-2-(4-nitrophenoxy)-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-69-8 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine, --tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, (2R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-71-2 CAPLUS

CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-73-4 CAPLUS

CN 2-Thiazolamine, 5-(methylthio)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-74-5 CAPLUS

CN 2=Thiazolamine, 5-(methylthio)-4-[5-[(2R, 4S)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-76-7 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 280781-83-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 3-acetyl-2-[5-[2-amino-5-(2-methylpropyl)-4-thiazolyl]-2-furanyl]tetrahydro-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 290362-12-8 CAPLUS

CN 2-Thiazolamine, 4-[5-[4-(2,4-dichlorophenyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 290362-13-9 CAPLUS

CN Adenosine, 2',3'-dideoxy-5'-O-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-14-0 CAPLUS

CN Thymidine, 3'-azido-3'-deoxy-5'-O-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-17-3 CAPLUS

CN Uridine, 2'-deoxy-5-fluoro-5'-O-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-18-4 CAPLUS ----CN 6H-Purin-6-one,
2-amino-1,9-dihydro-9-[[2-[(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)oxy]ethoxy]methyl]- (9CI) (CA INDEX

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 & N \\$$

RN 290362-19-5 CAPLUS
CN 9H-Purin-6-amine, 9-[5-0-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 3 OF 45 CAPLUS COPYRIGHT 2001 ACS
2000:456867 Document No. 133:84284 A combination of fructose-1,6bisphosphatase (FBPase) inhibitors and insulin sensitizers for the
treatment of diabetes. Erion, Mark D.; Vanpoelje, Paul (Metabasis
Therapeutics, Inc., USA). PCT Int. Appl. WO 2000038666 A2 20000706, 306
pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY,
CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,
IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG,
MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM;
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB,

GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US30713 19991222. PRIORITY: US 1998-PV114718 19981224.

PATENT NO. KIND DATE APPLICATION NO. DATE ΡI WO 2000038666 A2 20000706 WO 1999-US30713 19991222 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

IT 261370-76-7P 261370-79-0P 261370-80-3P

280781-78-4DP, isomers 280781-79-5DP, isomers

280781-81-9DP, isomers 280781-83-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fructose-1,6-bisphosphatase inhibitor-insulin sensitizer combination for diabetes treatment, and inhibitor prepn.)

RN 261370-76-7 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 261370-79-0 CAPLUS

CN 2-Thiazolamine, 4-[5-[6-(3,5-dichlorophenyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

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 $i-Bu$
 $i-Bu$

RN 261370-80-3 CAPLUS

CN 2-Thiazolamine, 4-[5-(1,4-dihydro-2-oxido-2H-3,1,2-benzoxazaphosphorin-2-yl)-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 280781-78-4 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine,
tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 280781-79-5 CAPLUS

CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 280781-81-9 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 280781-83-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 3-acetyl-2-[5-[2-amino-5-(2-methylpropyl)-4-thiazolyl]-2-furanyl]tetrahydro-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

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ANSWER 4 OF 45 CAPLUS COPYRIGHT 2001 ACS
2000:175817
              Document No. 132:222529 Preparation of heteroaromatic
     phosphonates as fructose 1,6-bisphosphatase inhibitors. Dang, Qun;
     Kasibhatla, Srinivas Rao; Reddy, K. Raja; Erion, Mark D.; Reddy, M. Rami;
     Agarwal, Atul (Metabasis Therapeutics, Inc., USA). PCT Int. Appl. WO
     2000014095 A1 20000316, 338 pp. DESIGNATED STATES: W: AE, AL, AM, AT,
     AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES,
     FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ,
     LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO,
     RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW,
     AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI,
     CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL,
     PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: NO
     1999-US20346 19990903. PRIORITY: US 1998-135504 19980909; US 1998-111077
     19981207.
     PATENT NO.
                     KIND DATE
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PI
     WO 2000014095
                           20000316
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                                          WO 1999-US20346 19990903
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        (target compd.; prepn. of heteroarom. phosphonates as fructose
       1,6-bisphosphatase inhibitors via high throughput and std. synthetic
       methods)
    261370-67-6 CAPLUS
RN
    2H-1,3,2-Oxazaphosphorine,
tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4-
    thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, monohydrochloride (9CI)
    INDEX NAME)
```

HCl

RN 261370-68-7 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine,
tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, (2R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-69-8 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine,
tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, (2R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-70-1 CAPLUS

CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-71-2 CAPLUS
CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-72-3 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 261370-73-4 CAPLUS

2-Thiazolamine, 5-(methylthio)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-74-5 CAPLUS

CN 2-Thiazolamine, 5-(methylthio)-4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl=2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-75-6 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 261370-76-7 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 261370-77-8 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 3-acetyl-2-[5-[2-amino-5-(methylthio)-4-thiazolyl]-2-furanyl]tetrahydro-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 261370-79-0 CAPLUS

CN 2-Thiazolamine, 4-[5-[6-(3,5-dichlorophenyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 261370-80-3 CAPLUS

CN 2-Thiazolamine, 4-[5-(1,4-dihydro-2-oxido-2H-3,1,2-benzoxazaphosphorin-2-yl)-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 5 OF 45 CAPLUS COPYRIGHT 2001 ACS

1999:334338 Document No. 130:320832 Antineoplastic pharmaceutical agent. Stec, Wojciech J.; Radzikowski, Czeslaw; Szelejewski, Wieslaw; Kinas, Ryszard; Misiura, Konrad; Grynkiewicz, Grzegorz; Kusmierczyk, Halina;

Kutner, Andrzej; Pilichowska, Slawomira (Instytut Farmaceutyczny, Pol.; PAN-Centrum Badan Molekularnych i Makromolekularnych; PAN-Instytut Immunologii i Terapii Doswiadczalnej). Pol. PL 175310 B1 19981231, 12 pp. (Polish). CODEN: POXXA7. APPLICATION: PL 1994-303316 19940504. PATENT NO. KIND DATE APPLICATION NO. DATE ΡI PL 175310 B119981231 PL 1994-303316 IT 5638-55-1D, 2H-1,3,2-Oxazaphosphorin-2-amine tetrahydro 2-oxide, derivs. 105217-07-0D, 2H-1,3,2-0xazaphosphorin-2-amine, tetrahydro-, 2-oxide, (-)-, derivs. RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antitumor agents contg. 1,3,2-oxazaphosphorin-2-amine derivs.) RN 5638-55-1 CAPLUS 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-, 2-oxide (9CI) CN NH2 RN 105217-07-0 CAPLUS CN 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-, 2-oxide, (-)- (9CI) (CA INDEX NAME) Rotation (-). ANSWER 6 OF 45 CAPLUS COPYRIGHT 2001 ACS 1999:271360 Document No. 130:282311 Preparation of macrolide 8a-azalide erythromycins as bactericides. Blizzard, Timothy A.; Hammond, Milton L.; Santorelli, Gina M.; Morgan, Jerry D., II (Merck & Co., Inc., USA). PCT Int. Appl. WO 9919331 A1 19990422, 55 pp. DESIGNATED STATES: W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-US21594 19981013. PRIORITY: US 1997-62180 19971016; GB 1998-6417 19980325. PATENT NO. KIND DATE APPLICATION NO. DATE -----____ ______ PΙ WO 9919331 A1 19990422 WO 1998-US21594 19981013 W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE,

HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9910825 Al 19990503 AU 1999-10825 19981013

IT 222637-39-0P 222637-40-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of macrolide 8a-azalide erythromycins as bactericides)

RN 222637-39-0 CAPLUS

CN

7,14-Dioxa-1-aza-15-phosphabicyclo[11.2.2]heptadecane-2,8-dione, 6-ethyl-4,5-dihydroxy-15-methoxy-3,5,9,11,13,16-hexamethyl-12-[[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-, 15-oxide, (3R,4R,5S,6R,9R,11S,12R,13R,16R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 222637-40-3 CAPLUS

CN 7,14-Dioxa-1-aza-15-phosphabicyclo[11.2.2]heptadecane-2,8,10-trione,
6-ethyl-4,5-dihydroxy-15-methoxy-3,5,9,11,13,16-hexamethyl-12-[[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-, 15-oxide,
(3R,4R,5S,6R,9R,11R,12R,13R,16R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 45 CAPLUS COPYRIGHT 2001 ACS Document No. 130:125221 Method for preparation of oxazaphosphorin-2-amines. Niemeyer, Ulf; Niegel, Harald; Kutscher, Bernhard; Neda, Ion (ASTA MEDICA A.-G., Germany). Ger. DE 19739159 C1 19990128, 8 pp. (German). CODEN: GWXXAW. APPLICATION: DE 1997-19739159 19970906. PATENT NO. KIND DATE APPLICATION NO. DATE ____ PΙ DE 19739159 C1 19990128 DE 1997-19739159 19970906 WO 9912942 **A**1 19990318 WO 1998-EP5170 19980814 W: BR, CA, CN, HU, IL, JP, MX, PL RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE EP 1012152 20000628 EP 1998-945245 19980814 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI ZA 9807741 19981008 Α ZA 1998-7741 19980826 US 6187941 В1 20010213 US 1998-149099 19980908 37753-09-6P, Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-IT 1,3,2-oxazaphosphorin-2-yl]amino]-RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of oxazaphosphorinamines by cyclization of chloroethylamine, hydroxypropylamine and phosphoryl chloride) 37753-09-6 CAPLUS RN Ethanol, CN 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

```
IΤ
         37753-10-9P, Sufosfamide
        RL: SPN (Synthetic preparation); PREP (Preparation)
             (prepn. of oxazaphosphorinamines by cyclization of chloroethylamine,
            hydroxypropylamine and phosphoryl chloride)
        37753-10-9 CAPLUS
  RN
  CN
        Ethanol,
 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-
        2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)
 ClCH2-CH2
                 NH- CH2- CH2- O- S-Me
       ANSWER 8 OF 45 CAPLUS COPYRIGHT 2001 ACS
 1999:27819
                  Document No. 130:81790 Preparation of 8a-azalide erythromycin
        analogs as bactericides. Blizzard, Timothy A.; Waddell, Sherman T.;
        Santorelli, Gina M.; Morgan, Jerry D. Ii; Hammond, Milton L.; Heck, James
       V. (Merck & Co., Inc., USA). PCT Int. Appl. WO 9858917 Al 19981230, 63
       V. (Merck & Co., Inc., USA). PCT Int. Appl. WO 985891/ Al 1998123U, 63 pp. DESIGNATED STATES: W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2.

APPLICATION: WO 1998-US12574 19980617. PRIORITY: US 1997-50401 19970620;
       GB 1998-233 19980106.
       PATENT NO.
                              KIND
                                      DATE
                                                          APPLICATION NO. DATE
                                      _____
                                                          -----
       WO 9858917
                             A1
                                      19981230
                                                        WO 1998-US12574 19980617
            W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW,
                 HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK,
                 MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
            RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
                 CM, GA, GN, ML, MR, NE, SN, TD, TG
      AU 9881475
                                     19990104
                               Α1
                                                         AU 1998-81475
                                                                                19980617
IT
       218941-64-1P 218941-65-2P
      RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
      preparation); THU (Therapeutic use); BIOL (Biological study); PREP
       (Preparation); USES (Uses)
           (prepn. of 8a-azalide erythromycin analogs as bactericides)
RN
      218941-64-1 CAPLUS
CN
      7,14-Dioxa-1-aza-15-phosphabicyclo[11.2.2]heptadecan-8-one,
      6-ethyl-4,5-dihydroxy-15-methoxy-3,5,9,11,13,16-hexamethyl-12-[[3,4,6-
      trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-, 15-oxide,
      (3S, 4R, 5S, 6R, 9R, 11S, 12R, 13R, 16R) - (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

RN 218941-65-2 CAPLUS

7,14-Dioxa-1-aza-15-phosphabicyclo[11.2.2]heptadecane-8,10-dione,
6-ethyl-4,5-dihydroxy-15-methoxy-3,5,9,11,13,16-hexamethyl-12-[[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-, 15-oxide,
(3S,4R,5S,6R,9R,11R,12R,13R,16R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 9 OF 45 CAPLUS COPYRIGHT 2001 ACS
1998:169488 Document No. 128:257656 Preparation of amphiphilic glycerols or
ethyleneglycols as phosphatidylcholine synthesis inhibitors and
antitumors. Attard, George Simon; McGuigan, Christopher; Riley, Patrick
Anthony (University of Southampton, UK; Attard, George Simon; McGuigan,
Christopher; Riley, Patrick Anthony). PCT Int. Appl. WO 9809668 A2
19980312, 57 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG,
BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL,
IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,

```
MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
     UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT,
     BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT,
     LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2.
     APPLICATION: WO 1997-GB2410 19970908. PRIORITY: GB 1996-18634 19960906.
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                     ____
                                          _____
    WO 9809668
                      A2
                           19980312
                                          WO 1997-GB2410
                                                           19970908
PΙ
     WO 9809668
                     A3
                           19980625
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
         PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
             US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
             GN, ML, MR, NE, SN, TD, TG
                                                           19970908
                      A1 19980326
                                          AU 1997-41285
    AU 9741285
ΙT
    204924-50-5P
    RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of amphiphilic glycerols or ethyleneglycols as
        phosphatidylcholines synthesis inhibitors and antitumors)
     204924-50-5 CAPLUS
RN
    2H-1,3,2-Oxazaphosphorine,
CN
2-[3-(hexadecyloxy)-2-methoxypropoxy]tetrahydro-
     , 2-oxide (9CI) (CA INDEX NAME)
            OMe
      O-СH2-СH-СH2-О-(СH2)15-Ме
```

L8 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2001 ACS

1997:617451 Document No. 127:262992 Preparation of oxyazaphospholine D-xylofuranose derivatives having herbicidal activity. Yamashita, Koji; Oshikawa, Tatsuo; Usui, Tatsuya; Seo, Kuniaki (Yamashita, Koji, Japan; Nippon Soda Co., Ltd.). Jpn. Kokai Tokkyo Koho JP 09241284 A2 19970916 Heisei, 10 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1996-80882 19960308.

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 09241284 A2 19970916 JP 1996-80882 19960308

IT 196201-85-1P 196201-86-2P 196201-87-3P 196201-88-4P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of oxyazaphospholine D-xylofuranose derivs. having herbicidal activity)

RN 196201-85-1 CAPLUS

PΤ

CN Glycine, N-[(4aR,5aR,8aR,8bS)-hexahydro-7,7-dimethyl-2-oxido-3-

(phenylmethyl)-2H-[1,3]dioxolo[4,5]furo[2,3-e]-1,3,2-oxazaphosphorin-2-yl] , methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN -196201-86-2 CAPLUS --

CN L-Alanine, N-[(4aR,5aR,8aR,8bS)-hexahydro-7,7-dimethyl-2-oxido-3-

(phenylmethyl)-2H-[1,3]dioxolo[4,5]furo[2,3-e]-1,3,2-oxazaphosphorin-2-yl] , methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 196201-87-3 CAPLUS

CN L-Phenylalanine, N-[(4aR,5aR,8aR,8bS)-hexahydro-7,7-dimethyl-2-oxido-3-

(phenylmethyl)-2H-[1,3]dioxolo[4,5]furo[2,3-e]-1,3,2-oxazaphosphorin-2-yl] , methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 196201-88-4 CAPLUS

CN L-Leucine, N-[(4aR,5aR,8aR,8bS)-hexahydro-7,7-dimethyl-2-oxido-3-

(phenylmethyl)-2H-[1,3]dioxolo[4,5]furo[2,3-e]-1,3,2-oxazaphosphorin-2-yl], methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2001 ACS
1996:748439 Document No. 126:19030 Preparation of O-aryl ethers of
2-hydroxy-2-thio- or -2-oxo-1,3,2-oxazaphosphorinane. Mastryukova, T.

A.;
Mndzhoyan, Z. O.; Shipov, A. E.; Roslavtseva, S. A.; Golitsyna, V. V.;
Ter-Zakharyan, Yu. Z.; Oganyan, Sh G.; Kagan, Yu. S.; Ershova, E. A.;
Kabachnik, M. I. (Institut Elementoorganicheskikh Soedinenij
Im.A.N.Nesmeyanova, USSR). U.S.S.R. SU 1019823 A1 19960310 From:
Izobreteniya 1996, (7), 279. (Russian). CODEN: URXXAF. APPLICATION: SU 1981-3295597 19810603.

PATENT NO. KIND DATE APPLICATION NO. DATE

SU 1019823 A1 19960310 SU 1981-3295597 19810603

IT 50742-52-4P

ΡI

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of aryloxy(thio) - or -(oxo)oxazaphosphorinane)

RN 50742-52-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-phenoxy-, 2-oxide (6CI, 9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 45 CAPLUS COPYRIGHT 2001 ACS

1996:365550 Document No. 125:26247 A method of selectively destroying neoplastic cells using chemotherapeutic agent and viral vector to express cytochrome P 450 gene. Chiocca, E. Antonio; Waxman, David J.; Wei, Ming X.; Breakefield, Xandra O.; Chen, Ling (General Hospital Corporation,

USA;
Boston University; Dana Farber Cancer Institute). PCT Int. Appl. WO 9604789 Al 19960222, 148 pp. DESIGNATED STATES: W: CA, JP; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1995-US10365 19950815. PRIORITY: US 1994-291500 19940817; US 1994-330523 19941028.

> W: CA, JP RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

US 5688773 19971118 US 1994-330523 19941028 EP 776161 19970604 EP 1995-929543 A1 19950815 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE JP 10504308 T2 19980428 JP 1995-507577 19950815 ΙT 53859-37-3 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study) (cytochrome P 450 gene-expressing vector and chemotherapeutic agent for selectively destroying neoplastic cells) RN 53859-37-3 CAPLUS CN 2H=1,3,2-0xazaphosphorin-2-amine, N,N-diethyltetrahydro-, 2-oxide (9CI) (CA INDEX NAME)

ANSWER 13 OF 45 CAPLUS COPYRIGHT 2001 ACS 1993:678769 Document No. 119:278769 Manufacture of multilayered controlled-release transdermal patches. Wick, John; Weimann, Ludwig J.; Pollock, Wayne C. (Mli Acquisition Corp. II, USA). Eur. Pat. Appl. EP 563507 Al 19931006, 35 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE. (English). CODEN: EPXXDW. APPLICATION: EP 1992-850190 19920813. PRIORITY: US 1992-861534 19920401. PATENT NO. KIND DATE APPLICATION NO. DATE PΙ EP 563507 **A**1 19931006 EP 1992-850190 19920813 EP 563507 В1 19980527

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE AΑ CA 2075517 19931002 CA 1992-2075517 19920807 CA 2075517 С 19970311 AT 166574 E 19980615 AT 1992-850190 19920813 ES 2118124 Т3 19980916 ES 1992-850190 19920813 BR 9203172 Α 19931019 BR 1992-3172 19920814

IT 37753-10-9, Sufosfamide

RL: BIOL (Biological study)

(transdermal patches contq., controlled-release)

RN 37753-10-9 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

L8 ANSWER 14 OF 45 CAPLUS COPYRIGHT 2001 ACS

1993:428359 Document No. 119:28359 Preparation of phosphorodiamidothioate herbicides. Kanne, David B. (Imperial Chemical Industries PLC, UK).

U.S.

PΙ

US 5189169 A 19930223, 12 pp. (English). CODEN: USXXAM. APPLICATION: US 1991-790788 19911112.

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| | | | | |
| US 5189169 | Α | 19930223 | US 1991-790788 | 19911112 |

IT 147465-34-7P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide)

RN 147465-34-7 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-3-methyl-N,N-dipropyl-, 2-oxide (9CI) (CA INDEX NAME)

L8 ANSWER 15 OF 45 CAPLUS COPYRIGHT 2001 ACS

1991:178387 Document No. 114:178387 Treatment of AIDS with thiophosphate derivatives of chelidonium majus alkaloids. Nowicky, Wassyl (Austria). U.S. US 4970212 A 19901113, 25 pp. Cont.-in-part of U.S. 4,816,462. (English). CODEN: USXXAM. APPLICATION: US 1988-173564 19880325. PRIORITY: US 1982-379415 19820518: US 1986-831082 19860220.

| | | | , | to fitt toffte fitters. | | | | | |
|----|------------|-----------|----------|-------------------------|--|--|--|--|--|
| | PATENT NO. | KIND | DATE | APPLICATION NO. DATE | | | | | |
| | | | | | | | | | |
| ΡI | US 4970212 | Α | 19901113 | US 1988-173564 19880325 | | | | | |
| | AU 566682 | B2 | 19871029 | AU 1982-85977 19820713 | | | | | |
| | AU 8285977 | A1 | 19830224 | | | | | | |
| | US 4816462 | Α | 19890328 | US 1986-831082 19860220 | | | | | |

IT 86639-89-6 86639-90-9 86639-91-0 86639-92-1

RL: BIOL (Biological study)

(AIDS treatment by)

RN 86639-89-6 CAPLUS

CN Dibenz[c,g]azecin-13(6H)-one, 11,11'-[{(tetrahydro-2-oxido-2H-1,3,2-

oxazaphosphorin-2-yl)imino]bis(3,1-propanediyloxy)]bis[5,7,8,14-tetrahydro-10-hydroxy-3,4-dimethoxy-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

●2 HCl

PAGE 1-B

RN 86639-90-9 CAPLUS

CN Benzo[e]-1,3-dioxolo[4,5-1][2]benzazecin-7(6H)-one,

10,10'-[[(tetrahydro-2-

oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]-2,6-(2,1-ethanediyloxy)]bis[9-(chloromethoxy)-12,13,14,15-tetrahydro-14-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

RN 86639-91-0 CAPLUS
CN Benzo[e]-1,3-dioxolo[4,5-1][2]benzazecin-7(6H)-one,
10,10'-[[(tetrahydro-2oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]bis(2,1ethanediyloxy)]bis[12,13,14,15-tetrahydro-9-methoxy-13-methyl-,
tetrahydrochloride (9CI) (CA INDEX NAME)

OMe
$$P = 0$$
 MeO $O = 0$ O

•4 HCl

PAGE 1-B

PAGE 1-A

RN 86639-92-1 CAPLUS
CN Benzo[e]-1,3-dioxolo[4,5-1][2]benzazecin-7(6H)-one, 9,9'-[[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]bis(3,1-propanediyloxy)]bis[12,13,14,15-tetrahydro-10-hydroxy-14-methyl-, tetrahydrochloride (9CI) (CA INDEX NAME)

•4 HCl

PAGE 1-B

(CA

INDEX NAME)

ANSWER 16 OF 45 CAPLUS COPYRIGHT 2001 ACS Document No. 114:102388 Preparation of 1991:102388 2H-N-acyltetrahydro-2-oxo-1,3,2-oxazaphosphorines. Stec, Wojciech J.; Radzikowski, Czeslaw; Szelejewski, Wieslaw; Kinas, Ryszard; Misiura, Konrad; Grynkiewicz, Grzegorz; Grodner, Jacek; Kutner, Andrzej; Pilichowska, Slawomira; Glazman-kusmierczyk, Halina (Instytut Przemyslu Farmaceutycznego, Pol.; Polska Akademia Nauk, Centrum Badan Molekularnych i Makromolekularnych; Instytut Immunologii i Terapii Doswiadczalnej). Pol. PL 149593 B1 19900228, 10 pp. Abstracted and indexed from the unexamined application. (Polish). CODEN: POXXA7. APPLICATION: PL 1986-263082 19861218. APPLICATION NO. DATE KIND DATE PATENT NO. _____ ______ 19861218 PL 1986-263082 19900228 В1 PΙ PL 149593 IT 132147-81-0 132147-82-1 RL: RCT (Reactant) (acetylation of, with bromoacetyl halide) 132147-81-0 CAPLUS RN 2H-1,3,2-Oxazaphosphorine, 2-(2-bromoethyl)tetrahydro-, 2-oxide (9CI) CN

L8 ANSWER 18 OF 45 CAPLUS COPYRIGHT 2001 ACS 1989:147878 Document No. 110:147878 A thio compound in a method for reducing

pain associated with the administration of 4-sulfidooxazaphosphorines and 4-sulfoalkylthiooxazaphosphorines. Hohorst, Hans Jurgen; Peter, Gernot; Voelcker, Georg; Wrabetz, Erhardt (Asta Pharma A.-G., Fed. Rep. Ger.). U.S. US 4770870 A 19880913, 12 pp. Cont.-in-part of U.S. Ser. No. 454,865. (English). CODEN: USXXAM. APPLICATION: US 1985-714845 19850322. PRIORITY: DE 1981-3151977 19811231; DE 1982-3222006 19821106; US 1982-454865 19821230.

PATENT NO. KIND DATE APPLICATION NO. DATE
US 4770870 A 19880913 US 1985-714845 19850322

IT 119793-36-1

PΙ

RL: BIOL (Biological study)

(drug compn., painless i.v. administration of)

RN 119793-36-1 CAPLUS

CN L-Cysteine, mixt. with 2-[(2-aminotetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl)thio]ethanol (9CI) (CA INDEX NAME)

CM

CRN 119793-35-0 CMF C5 H13 N2 O3 P S

CM 2

CRN 52-90-4 CMF C3 H7 N O2 S CDES 5:L

Absolute stereochemistry.

1T 119789-99-0D, derivs., cyclohexylamine and lysine salts 119790-01-1 119790-02-2

RL: BIOL (Biological study)

(pain assocd. with i.v. administration of, redn. of, with thio

compds.)

RN -119789-99-0 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-4-thiol, 2-aminotetrahydro-, 2-oxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{HS} & \stackrel{H}{\stackrel{N}{\stackrel{N}{\longrightarrow}}} \text{NH}_2 \\ \hline \\ 0 & \end{array}$$

RN 119790-01-1 CAPLUS

CN Ethanesulfonic acid, 2-[(2-aminotetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl)thio]-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119790-00-0 CMF C5 H13 N2 O5 P S2

$$O$$
 H_2N
 N
 S
 S
 CH_2
 CH_2
 CH_2
 SO_3H

CM 2

CRN 108-91-8 CMF C6 H13 N

RN 119790-02-2 CAPLUS

CN L-Lysine, mono[2-[(2-aminotetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl)thio]ethanesulfonate] (9CI) (CA INDEX NAME)

RN 132147-82-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 2-(2-bromoethyl)tetrahydro-, 2-oxide, (+)-(9CI) (CA INDEX NAME)

Rotation (+)

L8 ANSWER 17 OF 45 CAPLUS COPYRIGHT 2001 ACS

1991:30121 Document No. 114:30121 Drug effect-enhancing agent for antitumor drug. Akiyama, Shinichi; Sakoda, Ryozo; Seto, Kiyotomo; Shudo, Norimasa (Nissan Chemical Industries, Ltd., Japan). Eur. Pat. Appl. EP 353692 A2 19900207, 40 pp. DESIGNATED STATES: R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 1989-114113 19890731. PRIORITY: JP 1988-193002 19880802; JP 1989-168545 19890630.

| | | 09063
TENT | | | KI | ND | DATE | . | | A | PPLI | CATI | ON N | 10. | DATE | |
|----|----|---------------|------|-----|------------|-----|------|----------|-----|-----|------|----------|------|-----|-------|-----|
| PI | | 3536 | . – | | A | 2 | 1990 | 0207 | | E | P 19 |
89-1 | 1411 | .3 | 19890 | 731 |
| | EP | 3536 | 92 | | A. | 3 | 1991 | L0508 | | | | | | | | |
| | ΕP | 3536 | 92 | | B : | 1 | 1995 | 51004 | | | | | | | | |
| | | R: | ΑT, | BE, | CH, | DE, | ES, | FR, | GB, | GR, | IT, | LI, | LU. | NL. | SE | |
| | JP | 0213 | 8221 | | A. | | | 0528 | | | | | 6854 | | 19890 | 630 |
| | JP | 2850 | 376 | | B | 2 | 1999 | 0127 | | | | | | | | |
| | CA | 1334 | 752 | | A. | 1 | 1995 | 0314 | | C.F | 19 | 89-6 | 0702 | 6 | 19890 | 731 |
| | EP | 6554 | 55 | | A: | 1 | 1995 | 0531 | | ΕI | 19 | 95-1 | 0131 | 0 | 19890 | 731 |
| | | R: | ΑT, | BE, | CH, | DE, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE | |
| | AT | 1286 | 23 | | E | | | 1015 | | | | - | 1411 | | 19890 | 731 |
| | US | 5130 | 303 | | Α | | 1992 | 0714 | | US | 199 | 91-7 | 2990 | 4 | 19910 | 715 |
| | US | 5304 | 550 | | Α | | 1994 | 0419 | | US | 199 | 93-5 | 7902 | | 19930 | 507 |
| | US | 5508 | 403 | | Α | | 1996 | 0416 | | | | | 6351 | | 19950 | |
| | | | | | | | | | | | | _ | | _ | | |

IT 113955-12-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (neoplasm inhibitor activity enhancement and resistance suppression with)

RN 113955-12-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-2,6-dimethyl-5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-, 2-[4-(diphenylmethyl)-1-piperazinyl]ethyl ester (9CI) (CA INDEX NAME)

CM 1

CRN 119790-00-0 CMF C5 H13 N2 O5 P S2

CM 2

CRN 56-87-1 CMF C6 H14 N2 O2 CDES 5:L

Absolute stereochemistry.

ANSWER 19 OF 45 CAPLUS COPYRIGHT 2001 ACS 1988:544599 Document No. 109:144599 Benzoxazaphosphorine derivatives and agrochemical insecticidal compositions containing them. Yoshikawa, Hiromichi; Imai, Yasushi (Asahi Chemical Industry Co., Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 62249996 A2 19871030 Showa, 18 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1986-92409 19860423. PATENT NO. KIND DATE APPLICATION NO. DATE ΡI JP 62249996 A2 19871030 JP 1986-92409 19860423 IT 116617-91-5P 116617-92-6P 116617-93-7P 116617-94-8P 116617-95-9P 116617-96-0P 116617-97-1P 116617-98-2P 116617-99-3P 116618-00-9P 116618-03-2P 116618-04-3P 116618-07-6P 116618-08-7P 116618-12-3P 116618-13-4P 116618-14-5P 116618-15-6P 116618-16-7P 116618-17-8P 117343-48-3P 117343-49-4P 117343-50-7P 117343-51-8P 117729-43-8P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as agrochem. insecticide)

RN 116617-91-5 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 2-ethoxy-3,4-dihydro-3-(1-methylethyl)-6-nitro-, 2-oxide (9CI) (CA INDEX NAME)

RN 116617-92-6 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-3,4-dihydro-2-methoxy-3-(1-methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116617-93-7 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-2-ethoxy-3,4-dihydro-3-(1-methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116617-94-8 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-3,4-dihydro-2-(1-methylethyl)-2-propoxy-, 2-oxide (9CI) (CA INDEX NAME)

RN 116617-95-9 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-2-ethoxy-3,4-dihydro-3-(2-methylpropyl)-, 2-oxide (9CI) (CA INDEX NAME)

116617-96-0 CAPLUS RN

2H-1,3,2-Benzoxazaphosphorine, 6-bromo-3,4-dihydro-2-methoxy-3-(1-CN methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

116617-97-1 CAPLUS RN

2H-1,3,2-Benzoxazaphosphorine, 6-bromo-2-ethoxy-3,4-dihydro-3-(1-methylethyl)-, 2-oxide (9CI) (CA INDEX NAME) CN

116617-98-2 CAPLUS RN

2H-1,3,2-Benzoxazaphosphorine, 6,8-dichloro-2-ethoxy-3,4-dihydro-3-(1-CN methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

116617-99-3 CAPLUS RN

2H-1,3,2-Benzoxazaphosphorine, 6,8-dibromo-2-ethoxy-3,4-dihydro-3-(1-CN methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116618-00-9 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6,8-dibromo-2-ethoxy-3,4-dihydro-3-(2-methylpropyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116618-03-2 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 2-ethoxy-3,4-dihydro-4-methyl-3-(1-methylethyl)-, 2-oxide, cis- (9CI) (CA INDEX NAME)

2/17/18

Relative stereochemistry.

RN 116618-04-3 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 2-ethoxy-3,4-dihydro-4-methyl-3-(2-propenyl)-, 2-oxide (9CI) (CA INDEX NAME)

Me
$$CH_2-CH=CH_2$$
 O O

RN 116618-07-6 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine,

6-chloro-2-ethoxy-3,4-dihydro-4-methyl-3-(1-methylethyl)-, 2-oxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 116618-08-7 CAPLUS
CN 2H-1,3,2-Benzoxazaphosphorine,
6-chloro-2-ethoxy-3,4-dihydro-4-methyl-3-(2-propenyl)-, 2-oxide (9CI) (CA INDEX NAME)

C1
$$\sim$$
 CH₂- CH= CH₂ \sim OEt

RN 116618-12-3 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 2-ethoxy-4-ethyl-3,4-dihydro-3-(1-methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116618-13-4 CAPLUS
CN 2H-1,3,2-Benzoxazaphosphorine,
2-ethoxy-4-ethyl-3,4-dihydro-3-(2-propenyl), 2-oxide (9CI) (CA INDEX NAME)

RN 116618-14-5 CAPLUS
CN 2H-1,3,2-Benzoxazaphosphorine,
6-chloro-2-ethoxy-4-ethyl-3,4-dihydro-3-(1methylethyl)-, 2-oxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 116618-15-6 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine,

6-chloro-2-ethoxy-4-ethyl-3,4-dihydro-3-(2-

propenyl)-, 2-oxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 116618-16-7 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-2-ethoxy-3,4-dihydro-3-(1-methylethyl)-4-propyl-, 2-oxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 116618-17-8 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-2-ethoxy-3,4-dihydro-3-(2-propenyl)-4-propyl-, 2-oxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{CH}_2\text{-CH} = \text{CH}_2 \\ \hline \\ \text{O} & \text{OEt} \end{array}$$

RN 117343-48-3 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine,

6-chloro-2-ethoxy-3, 4-dihydro-4-methyl-3-(1-

methylethyl)-, 2-oxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

117343-49-4 CAPLUS RN

2H-1,3,2-Benzoxazaphosphorine, 2-ethoxy-3,4-dihydro-4-methyl-3-(1-methylethyl)-, 2-oxide, trans- (9CI) (CA INDEX NAME) CN

Relative stereochemistry.

117343-50-7 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine,

6-chloro-2-ethoxy-4-ethyl-3,4-dihydro-3-(1-methylethyl)-, 2-oxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

117343-51-8 CAPLUS RN

2H-1,3,2-Benzoxazaphosphorine,

6-chloro-2-ethoxy-4-ethyl-3,4-dihydro-3-(2-

propenyl)-, 2-oxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 117729-43-8 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-2-ethoxy-3,4-dihydro-3-(1-methylethyl)-4-propyl-, 2-oxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L8 ANSWER 20 OF 45 CAPLUS COPYRIGHT 2001 ACS

1988:167687 Document No. 108:167687 Preparation of dihydropyridine-5-phosphonamidic acid derivatives for treatment of circulation disorders. Kamikawaji, Masumasa; Seto, Kyotomo; Sakota, Ryozo; Tanaka, Sakuya

(Nissan

PΙ

Chemical Industries, Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 62195392 A2 19870828 Showa, 12 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1986-36402 19860220.

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------|------|----------|-----------------|----------|
| | | | | |
| JP 62195392 | A2 | 19870828 | JP 1986-36402 | 19860220 |
| ~D 0.001 E E E O | D 4 | 10040000 | | |

JP 06015553 B4 19940302

IT 113955-08-1P 113955-09-2P 113955-10-5P 113955-11-6P 113955-12-7P 113979-07-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as hypotensive and calcium antagonist)

RN 113955-08-1 CAPLUS

CN 3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (9CI) (CA INDEX NAME)

RN 113955-09-2 CAPLUS

CN 3-Pyridinecarboxylic acid,

4-(2,3-dichlorophenyl)-1,4-dihydro-2,6-dimethyl-

5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-,

2-[methyl(phenylmethyl)amino]ethyl ester (9CI) (CA INDEX NAME)

RN 113955-10-5 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-2,6-dimethyl-5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (9CI) (CA INDEX NAME)

RN 113955-11-6 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-(3-chlorophenyl)-1,4-dihydro-2,6-dimethyl-5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (9CI) (CA INDEX NAME)

RN 113955-12-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-2,6-dimethyl-5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-, 2-[4-(diphenylmethyl)-1-piperazinyl]ethyl ester (9CI) (CA INDEX NAME)

RN 113979-07-0 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-2,6-dimethyl-5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 21 OF 45 CAPLUS COPYRIGHT 2001 ACS

1987:67498 Document No. 106:67498 4-Carbamoyloxyoxazaphosphorins. Scheffler, Gerhard; Niemeyer, Ulf; Brock, Norbert; Pohl, Joerg (Asta-Werke

A.-G., Fed. Rep. Ger.). U.S. US 4618692 A 19861021, 18 pp. Cont. of U.S.

Ser. No. 299,006, abandoned. (English). CODEN: USXXAM. APPLICATION: US 1984-579570 19840213. PRIORITY: US 1981-299006 19810903.

PATENT NO. KIND DATE APPLICATION NO. DATE

US 4618692 A 19861021 US 1984-579570 19840213
SU 1435156 A3 19881030 SU 1985-3887403 19850513

IT 106371-52-2P 106392-23-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. of, as antitumor agent)

RN 106371-52-2 CAPLUS

PΙ

CN Ethanesulfonic acid, 2-[[4-[(aminocarbonyl)hydroxyamino]-3-(2-

chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]methylamino] , methyl ester (9CI) (CA INDEX NAME)

106392-23-8 CAPLUS RN

Ethanesulfonic acid, 2-[[4-[(aminocarbonyl)hydroxyamino]-3-(2-CN chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 22 OF 45 CAPLUS COPYRIGHT 2001 ACS rs1986:515209 Document No. 105:115209 New oxazaphosphorine compounds and pharmaceutical compositions containing them. Lavielle, Gilbert;

Cudennec, Claude (ADIR, Fr.). Fr. Demande FR 2567129 A1 19860110, 27 pp. (French).

CODEN: FRXXBL. APPLICATION: FR 1984-10731 19840706. APPLICATION NO. KIND DATE PATENT NO. _____ ______ FR 1984-10731 19840706 FR 2567129 A1 19860110 PΙ В1 19861205 FR 2567129 19850531 **A**1 CA 1985-482990 19890207 CA 1249818 19850704 A1 EP 1985-401359 EP 172059 19860219 B1 19880420 EP 172059 R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE 19850704 AT 1985-401359 19880515 AT 33658 E AU 1985-44641 19850705 19860109 Α1 AU 8544641 19880414 B2 AU 571414 19850705 Α 19860226 ZA 1985-5082 ZA 8505082 JP 1985-148144 19850705 A2 19860304 JP 61044894 JP 02050120 B4 19901101 ES 1985-544927 19850705 19860716 ES 544927 **A**1

US 4605647 67882-57-9P 104125-16-8P 104125-18-0P TΤ

Α

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and addn. of, with chloroethyl isocyanate)

19860812

67882-57-9 CAPLUS RN

2H-1,3,2-Oxazaphosphorine, tetrahydro-2-methoxy-, 2-oxide (9CI) (CA CN INDEX

US 1985-752365

19850705

NAME)

RN104125-16-8 CAPLUS

2H-1,3,2-Oxazaphosphorine, tetrahydro-2-(1-methylethyl)-, 2-oxide (9CI) CN (CA INDEX NAME)

RN 104125-18-0 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

IT 104125-17-9P 104125-19-1P 104125-20-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and nitrosation of)

RN 104125-17-9 CAPLUS

CN 2H-1, 3, 2-Oxazaphosphorine-3(4H)-carboxamide,

N-(2-chloroethyl)dihydro-2-(1-

methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-19-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-2-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-20-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-2-methoxy-, 2-oxide (9CI) (CA INDEX NAME)

RN: 104125-03-3 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-Nnitroso-2-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-04-4 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-2-methoxy-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-05-5 CAPLUS

CN ⁻²H-1,3,2-Oxazaphosphorine=3(4H)-carboxamide, N-(2-chloroethyl)dihydro-2-⁻⁻methyl-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-06-6 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide,

N-(2-chloroethyl)dihydro-2-(1-

methylpropyl)-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-07-7 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)-2-cyclohexyldihydro-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-08-8 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-N-

nitroso-2-(phenylmethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-09-9 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-N-nitroso-2-phenoxy-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-10-2 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)-2-[(4-chlorophenyl)methyl]dihydro-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-11-3 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethy1)-2-(4-chlorophenyl)dihydro-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-12-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-2-(4-methylphenyl)-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-13-5 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)-2-(4-fluorophenyl)dihydro-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

L8 ANSWER 23 OF 45 CAPLUS COPYRIGHT 2001 ACS

1985:488076 Document No. 103:88076 4-Carbamoyloxyoxaphosphorines useful in chemotherapy. (Asta-Werke A.-G., Fed. Rep. Ger.). Belg. BE 900370 A4 19841217, 27 pp. Addn. to Belg. 890,276. (French). CODEN: BEXXAL. APPLICATION: BE 1984-60475 19840817. PRIORITY: BE 1981-59345 19810909.

PATENT NO. KIND DATE APPLICATION NO. DATE

PI BE 900370 A4 19841217 BE 1984-60475 19840817

BE 890276 A1 19820104 BE 1981-59345 19810909

IT 97139-10-1P 97139-12-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as antineoplastic)

RN 97139-10-1 CAPLUS

CN Urea, N-[3-(2-chloroethyl)tetrahydro-2-[methyl[2-

[(methylsulfonyl)oxy]ethyl]amino]-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl]-N-hydroxy- (9CI) (CA INDEX NAME)

RN 97139-12-3 CAPLUS

CN Urea,

N-[3-(2-chloroethyl)tetrahydro-2-[[2-[(methylsulfonyl)oxy]ethyl]amin o]-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl]-N-hydroxy- (9CI) (CA INDEX NAME)

IT 97139-23-6 97139-25-8

RL: RCT (Reactant)

(reaction of, with urea deriv.)

RN 97139-23-6 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-4-ol, 3-(2-chloroethyl)tetrahydro-2-[methyl[2-[(methylsulfonyl)oxy]ethyl]amino]-, 2-oxide (9CI) (CA INDEX NAME)

RN 97139-25-8 CAPLUS

CN 2H-1,3,2-0xazaphosphorin-4-ol, 3-(2-chloroethyl)tetrahydro-2-[[2-[(methylsulfonyl)oxy]ethyl]amino]-, 2-oxide (9CI) (CA INDEX NAME)

C1CH₂-CH₂

$$\begin{array}{c|c}
 & O \\
 & N \\
 & P \\
 & NH-CH2-CH2-O-S-Me \\
 & O \\$$

L8 ANSWER 24 OF 45 CAPLUS COPYRIGHT 2001 ACS

1985:95819 Document No. 102:95819 4-Ureidooxyoxazaphosphorins useful in treating malignant tumors. (Asta-Werke A.-G., Fed. Rep. Ger.). Belg. BE 899457 A4 19840816, 26 pp. Addn. to Belg. 890,276. (French). CODEN: BEXXAL. APPLICATION: BE 1984-60394 19840419. PRIORITY: GB 1980-29222 19800910; BE 1981-890276 19810909.

PATENT NO. KIND DATE APPLICATION NO. DATE

PI BE 899457 A4 19840816 BE 1984-60394 19840419

IT 94831-33-1P 94831-35-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 94831-33-1 CAPLUS

CN Ethanesulfonic acid, 2-[[4-[[(aminocarbonyl)hydroxyamino]oxy]-3-(2-

chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]methylamino)-

, methyl ester (9CI) (CA INDEX NAME)

RN 94831-35-3 CAPLUS

CN Ethanesulfonic acid, 2-[[4-[[(aminocarbonyl)hydroxyamino]oxy]-3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2001 ACS

1984:34702 Document No. 100:34702 Optically active derivatives of 1,3,2-oxazaphosphorinane. Stec, Wojciech Jerzy; Kinas, Ryszard; Pankiewicz, Krzysztof (Polska Akademia Nauk, Centrum Badan Molekularnych i

Makromolekularnych, Pol.). Pol. PL 119971 B1 19830831, 6 pp. (Polish). CODEN: POXXA7. APPLICATION: PL 1978-210736 19781106.

PATENT NO. KIND DATE APPLICATION NO. DATE

PI PL 119971 B1 19820227 PL 1978-210736 19781106

IT 88142-38-5P 88142-39-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and hydrogenolysis of)

RN 88142-38-5 CAPLUS

CN 2H-1,3,2-0xazaphosphorin-2-amine, N-ethyltetrahydro-3-(1-phenylethyl)-, 2-oxide, [3(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 88142-39-6 CAPLUS

CN 2H-1,3,2-0xazaphosphorine, tetrahydro-2-methoxy-3-(1-phenylethyl)-, 2-0xide, $[R-(R^*,R^*)]-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

IT 88142-41-0P 88142-45-4P 88142-46-5P

RN 88142-41-0 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-methoxy-, 2-oxide, (+)- (9CI)

(CA

INDEX NAME)

Rotation (+).

RN 88142-45-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-methoxy-3-(1-phenylethyl)-, 2-oxide, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 88142-46-5 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N-ethyltetrahydro-, 2-oxide (9CI) (CA INDEX NAME)

L8 ANSWER 26 OF 45 CAPLUS COPYRIGHT 2001 ACS

1983:471067 Document No. 99:71067 Diagnostic and therapeutic treatment of tumors and/or infectious diseases of all types using alkaloid compositions

or their salts. Nowicky, Wassyl (Austria). PCT Int. Appl. WO 8300486 A1 19830217, 59 pp. DESIGNATED STATES: W: AT, AU, BR, CH, DE, DK, FI, GB, HU, JP, KP, LK, LU, MC, MG, MW, NL, NO, RO, SE; RW: AT, BE, CF, CG, CH, CM, DE, FR, GA, GB, LU, NL, SE, SN, TD, TG. (German). CODEN: PIXXD2. APPLICATION: WO 1982-AT21 19820708. PRIORITY: DE 1981-3128018 19810713. APPLICATION NO. PATENT NO. KIND DATE DATE _____ PΙ WO 8300486 A1 19830217 WO 1982-AT21 19820708 W: AT, AU, BR, CH, DE, DK, FI, GB, HU, JP, KP, LK, LU, MC, MG, MW, NL, NO, RO, SE RW: AT, BE, CF, CG, CH, CM, DE, FR, GA, GB, LU, NL, SE, SN, TD, TG DE 3128018 **A**1 19830407 DE 1981-3128018 19810713 AU 1982-86814 -19820708 AU 8286814 " **A**1 19830222 19880107 AU 568483 B2 JP 1982-502047 JP 58501074 **T**2 19830707 19820708 JP 03026199 В4 19910410 EP 1982-901956 EP 83600 A1 19830720 19820708 EP 83600 В1 19871125 R: AT, BE, CH, DE, FR, GB, LI, LU, NL, SE AT 31064 E 19871215 AT 1982-901956 19820708 GB 1982-20293 19820713 GB 2110533 A1 19830622 GB 2110533 В2 19860611 ZA 8204983 19840425 ZA 1982-4983 19820713 Α AU 566682 19871029 AU 1982-85977 19820713 В2 AU 8285977 A1 19830224 FI 8300760 19830307 FI 1983-760 19830307 Α FI 73131 19870529 В FI 73131 С 19870910 ΙT 86639-89-6P 86639-90-9P 86639-91-0P 86639-92-1P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) RN 86639-89-6 CAPLUS

oxazaphosphorin-2-yl)imino]bis(3,1-propanediyloxy)]bis[5,7,8,14-tetrahydro-10-hydroxy-3,4-dimethoxy-, dihydrochloride (9CI) (CA INDEX NAME)

Dibenz[c,q]azecin-13(6H)-one, 11,11'-[[(tetrahydro-2-oxido-2H-1,3,2-

PAGE 1-A

MeO

O

(CH2) 3-N- (CH2) 3-O

HO

HO

CN

RN 86639-90-9 CAPLUS

CN Benzo[e]-1,3-dioxolo[4,5-1][2]benzazecin-7(6H)-one,

10,10'-[[(tetrahydro-2-

oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]-2,6-(2,1-ethanediyloxy)]bis[9-(chloromethoxy)-12,13,14,15-tetrahydro-14-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

O-CH₂Cl P ClCH₂-O-CH₂-CH₂-CH₂-O-CH₂-CH₂-O-CH₂-CH₂-O-CH₂-CH₂-O-CH₂-CH₂-O-CH₂

●2 HCl

PAGE 1-B

PAGE 1-A

Ме

RN 86639-91-0 CAPLUS

CN Benzo[e]-1,3-dioxolo[4,5-1][2]benzazecin-7(6H)-one,

10,10'-[[(tetrahydro-2-

oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]bis(2,1-ethanediyloxy)]bis[12,13,14,15-tetrahydro-9-methoxy-13-methyl-,tetrahydrochloride(9CI)(CA INDEX NAME)

PAGE 1-A

•4 HCl

PAGE 1-B

RN 86639-92-1 CAPLUS

CN Benzo[e]-1,3-dioxolo[4,5-1][2]benzazecin-7(6H)-one, 9,9'-[[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]bis(3,1-propanediyloxy)]bis[12,13,14,15-tetrahydro-10-hydroxy-14-methyl-, tetrahydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

4 HCl

L8 ANSWER 27 OF 45 CAPLUS COPYRIGHT 2001 ACS

1983:422692 Document No. 99:22692 Cytostatically active 1,3,2-

oxaazaphosphorin compounds and their use in pharmaceutical compositions. Wilk, Hans Christoph; Moeller, Hinrich; Fischer, Herbert; Zeidler,

Hase, Brigitte (Henkel K.-G.a.A., Fed. Rep. Ger.). Ger. Offen. DE 3133077

A1 19830310, 12 pp. (German). CODEN: GWXXBX. APPLICATION: DE 1981-3133077 19810821.

| | 1001 0100011 13 | OIOCLI. | | | |
|----|-----------------|---------|-------------|-----------------|----------|
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| | | | | | |
| ΡI | DE 3133077 | A1 | 19830310 | DE 1981-3133077 | 19810821 |
| | EP 72987 | A1 | 19830302 | EP 1982-107361 | 19820813 |
| | R: AT, BE, | CH, DE, | FR, GB, IT, | LI, LU, NL, SE | |
| | | | | | 19820820 |

IT 86127-70-0P 86127-71-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and antitumor activity of)

RN 86127-70-0 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-N,N,3-tris(oxiranylmethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 86127-71-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-propanol, .beta.-chlorodihydro-2-[methyl(oxiranylmethyl)amino]-, 2-oxide (9CI) (CA INDEX NAME)

IT 5638-55-1 86127-72-2 86127-74-4

RL: RCT (Reactant)

(reaction of, with epichlorohydrin)

RN 5638-55-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-, 2-oxide (9CI) (CA INDEX NAME)

RN 86127-72-2 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-N-methyl-, 2-oxide (9CI)

(CA

INDEX NAME)

RN 86127-74-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-3-methyl-, 2-oxide (9CI)

(CA

INDEX NAME)

L8 ANSWER 28 OF 45 CAPLUS COPYRIGHT 2001 ACS
1983:53945 Document No. 98:53945 (1,3,2-Oxazaphosphorin-4ylthio)alkanesulfonic acids, their neutral salts and their pharmaceutical
preparations. (Asta-Werke A.-G., Fed. Rep. Ger.). Belg. BE 892589 A1
19820716, 39 pp. (French). CODEN: BEXXAL. APPLICATION: BE 1982-59642

| | 19820323. | PRIORITY: D | E 1981-3111 | 428 19 | 810324. | |
|-----|--------------------|--------------|--------------|--------|----------------|----------|
| | PATENT NO. | KIND | DATE | A
- | PPLICATION NO. | DATE |
| PI | BE 892589 | A1 | 19820716 | В | E 1982-59642 | 19820323 |
| | DE 3111428 | A1 | 19821007 | D | E 1981-3111428 | 19810324 |
| | DE 3111428 | C2 | 19900613 | | | |
| | CH 648852 | Α | 19850415 | С | H 1982-1390 | 19820308 |
| | ZA 8201637 | . А | 19830223 | 2. | A 1982-1637 | 19820311 |
| | GB 2095256 | Α | 19820929 | G | B 1982-7375 | 19820312 |
| | GB 2095256 | B2 | 19840822 | | | |
| | AU 8281344 | A1 | 19820930 | A | U 1982-81344 | 19820312 |
| | AU 544493 | B2 | 19850530 | | | |
| | IL 65255 | · A1 | 19860331 | I | L 1982-65255 | 19820315 |
| | AT 8201063 | Α | 19860215 | A' | Г 1982-1063 | 19820317 |
| | AT 381317 | В | 19860925 | | | |
| | SE 8201807 | Α | 19820925 | S | E 1982-1807 | 19820322 |
| | SE 452159 | В | 19871116 | | | |
| | SE 452159 | С | 19880225 | | | |
| | FR 2502626 | A1 | 19821001 | F | R 1982-4823 | 19820322 |
| | FR 2502626 | B1 | 19860103 | | | |
| | RO 84661 | P | 19841031 | R | 1982-106989 | 19820322 |
| | FI 8201021 | Α | 19820925 | F | [1982-1021 | 19820323 |
| | FI 69849 | В | 19851231 | | | |
| | FI 69849 | С | 19860526 | | | |
| | DK 8201298 | Α | 19820925 | DI | 1982-1298 | 19820323 |
| | DK 171843 | B1 | 19970630 | | | |
| | NO 8200957 | Α | 19820927 | NO | 1982-957 | 19820323 |
| | NO 163692 | B | 19900326 | | | |
| | NO 163692 | С | 19900704 | | | |
| | JP 57169496 | | 19821019 | JI | 2 1982-44779 | 19820323 |
| | JP 02040680 | | 19900912 | | | |
| | ES 510677 | A1 | 19830201 | | 3 1982-510677 | 19820323 |
| | DD 201799 | A 5 | 19830810 | | 1982-238374 | 19820323 |
| | HU 27203 | 0 | 19831028 | HU | 1 1982-879 | 19820323 |
| | HU 186915 | В | 19851028 | | | |
| | CA 1194479 | A1 | 19851001 | | 1982-399098 | 19820323 |
| | SU 1318167 | A3 | 19870615 | | 1982-3414100 | 19820323 |
| | NL 8201227 | A | 19821018 | NI | 1982-1227 | 19820324 |
| | NL 192741 | В | 19970901 | | | |
| | NL 192741 | C | 19980106 | | | |
| | PL 129426 | . B1 | 19840531 | | 1982-235606 | 19820324 |
| | US 4623742 | A | 19861118 | | 1984-683374 | 19841219 |
| ΙT | US 4929607 | A | 19900529 | US | 1988-149954 | 19880202 |
| TI | 84210-95-7P | | | DED /~ | | |
| | (prepn. | nthetic prep | earation); P | KEP (P | reparation) | |
| RN | (prepn. 84210-95-7 | | | | | |
| UTA | 04210-33-1 | CAPLUS | | | | |

Ethanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-[methyl[2-[(methylsulfonyl)oxy]ethyl]amino]-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl]thio]-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 84210-94-6

CMF C11 H24 C1 N2 O8 P S3

CM 2

CRN-108=91-8-CMF C6 H13 N

L8 ANSWER 29 OF 45 CAPLUS COPYRIGHT 2001 ACS
1982:406524 Document No. 97:6524 4-Carbamoyloxyoxazaphosphorines and
pharmaceutical preparations containing them. (Asta-Werke A.-G., Fed. Rep.
Ger.). Belg. BE 890276 Al 19820104, 27 pp. (French). CODEN: BEXXAL.
APPLICATION: BE 1981-59345 19810909. PRIORITY: GB 1980-29222 19800910.

| | APPLICATION: BE 1981-59345 19810909. PATENT NO. KIND DATE | | | | PRIORITY: GB 1980-29222 19800910. | | | | |
|----|---|------------|----------|----|-----------------------------------|----------|--|--|--|
| | FAIENI NO. |
VIND | DATE | AP | PLICATION NO. | DATE | | | |
| PI | BE 890276 | A1 | 19820104 | BE | 1981-59345 | 19810909 | | | |
| | DE 3133309 | A1 | 19820415 | | 1981-3133309 | | | | |
| | DE 3133309 | C2 | 19890727 | | | 10010022 | | | |
| | AU 8174657 | A1 | 19820318 | AU | 1981-74657 | 19810826 | | | |
| | AU 538275 | B2 | 19840809 | | | | | | |
| | ZA 8105922 | Α | 19820929 | ZA | 1981-5922 | 19810826 | | | |
| | IL 63661 | A 1 | 19870130 | | 1981-63661 | 19810826 | | | |
| | CH 650787 | Α | 19850815 | CH | 1981-5584 | 19810831 | | | |
| | NL 8104093 | Α | 19820401 | | 1981-4093 | 19810903 | | | |
| | GB 2084154 | Α | 19820407 | | 1981-26785 | 19810904 | | | |
| | CS 227680 | P | 19840514 | | 1981-6537 | 19810904 | | | |
| | AT 8103864 | Α | 19871215 | ΑТ | 1981-3864 | 19810907 | | | |
| | AT 386210 | В | 19880725 | | | | | | |
| | SE 8105340 | Α | 19820311 | SE | 1981-5340 | 19810908 | | | |
| | SE 458203 | В | 19890306 | | | | | | |
| | SE 458203 | С | 19890629 | | | | | | |
| | FR 2489825 | A 1 | 19820312 | FR | 1981-16999 | 19810908 | | | |
| | FR 2489825 | B1 | 19841123 | | | | | | |
| | DD 202166 | A 5 | 19830831 | DD | 1981-233132 | 19810908 | | | |
| | HU 26864 | 0 | 19830928 | HU | 1981-2580 | 19810908 | | | |
| | HU 185953 | В | 19850428 | | | | | | |
| | RO 83972 | P | 19840929 | RO | 1981-105257 | 19810908 | | | |
| | FI 8102798 | Α | 19820311 | FI | 1981-2798 | 19810909 | | | |
| | FI 70026 | В | 19860131 | | | | | | |
| | FI 70026 | С | 19860912 | | | | | | |
| | NO 8103063 | Α | 19820311 | NO | 1981-3063 | 19810909 | | | |
| | NO 161261 | В | 19890417 | | | | | | |
| | NO 161261 | С | 19890726 | | | | | | |
| | DK 8104004 | Α | 19820311 | DK | 1981-4004 | 19810909 | | | |

| JP 57085396 | A2 | 19820528 | JP 1981-141108 | 19810909 |
|-----------------|-----------|----------|----------------|----------|
| JP 02009599 | В4 | 19900302 | | |
| ES 505324 | A1 | 19820816 | ES 1981-505324 | 19810909 |
| CA 1161453 | A1 | 19840131 | CA 1981-385516 | 19810909 |
| PL 128627 | B1 | 19840229 | PL 1981-232960 | 19810909 |
| CA 1184563 | В | 19850326 | CA 1984-455507 | 19840530 |
| BE 900370 | A4 | 19841217 | BE 1984-60475 | 19840817 |
| 04800 00 45 045 | | | | |

IT 81733-22-4P 81733-24-6P

RN 81733-22-4 CAPLUS

CN Urea, [[3-(2-chloroethyl)tetrahydro-2-[methyl[2-[(methylsulfonyl)oxy]ethyl]amino]-2-oxido-2H-1,3,2-oxazaphosphorin-4yl]oxy]- (9CI) (CA INDEX NAME)

RN 81733-24-6 CAPLUS

CN Urea,

[[3-(2-chloroethyl)tetrahydro-2-[[2-[(methylsulfonyl)oxy]ethyl]amino]-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl]oxy]- (9CI) (CA INDEX NAME)

L8 ANSWER 30 OF 45 CAPLUS COPYRIGHT 2001 ACS

1981:25230 Document No. 94:25230 Treatment of humans suffering from undesired urotoxic side effects caused by cytostatically active alkylating

agents. Brock, Norbert (Asta-Werke A.-G. Chemische Fabrik, Fed. Rep. Ger.). U.S. US 4220660 19800902, 5 pp. (English). CODEN: USXXAM. PRIORITY: DE 1977-2756018 19771214.

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|----------|
| | | | | | |
| ΡI | US 4220660 | Α | 19800902 | US 1978-967000 | 19781206 |
| | DE 2756018 | B1 | 19790329 | DE 1977-2756018 | 19771214 |
| | DE 2756018 | C2 | 19791122 | | |

IT 37753-10-9

RL: BIOL (Biological study)

(urotoxicity from, mercaptoalkanesulfonate salts in treatment of)

RN 37753-10-9 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

L8 ANSWER 31 OF 45 CAPLUS COPYRIGHT 2001 ACS

1980:471818 Document No. 93:71818 Optically active oxazaphosphorin derivatives and their preparation. Sato, Tadao (Otsuka Pharmaceutical Co., Ltd., Japan). Brit. UK Pat. Appl. GB 2021591 19791205, 11 pp. (English). CODEN: BAXXDU. PRIORITY: JP 1978-64681 19780529.

| | PATENT NO. | KIND | DATE | AP | PLICATION NO. | DATE | |
|----|-------------|------------|----------|----|---------------|----------|----|
| ΡI | GB 2021591 | A | 19791205 | GB | 1979-18581 | 19790529 | |
| | GB 2021591 | B2 | 19820908 | | | | |
| | JP 54157580 | A2 | 19791212 | JP | 1978-64681 | 19780529 | |
| | JP 57061360 | В4 | 19821223 | | | | • |
| | DE 2921057 | A1 | 19791206 | DE | 1979-2921057 | 19790523 | |
| | DE 2921057 | В2 | 19810115 | | | | |
| | DE 2921057 | С3 | 19811126 | | | | •. |
| | CA 1108175 | A1 | 19810901 | CA | 1979-328094 | 19790523 | |
| | SU 867314 | A3 | 19810923 | SU | 1979-2769753 | 19790525 | |
| | BE 876578 | A1 | 19791128 | BE | 1979-195419 | 19790528 | : |
| | SE 7904595 | Α | 19791130 | SE | 1979-4595 | 19790528 | |
| | SE 446189 | В | 19860818 | | | | |
| | SE 446189 | С | 19861127 | | | | |
| | AU 7947477 | A 1 | 19791206 | AU | 1979-47477 | 19790528 | |
| | AU 516429 | В2 | 19810604 | | | | |
| | CH 646710 | Α | 19841214 | CH | 1979-4949 | 19790528 | |
| | NL 7904215 | Α | 19791203 | NL | 1979-4215 | 19790529 | |
| | NL 183141 | В | 19880301 | | | | |
| | NL 183141 | С | 19880801 | | | | |
| | FR 2427339 | A1 | 19791228 | FR | 1979-13639 | 19790529 | |
| | FR 2427339 | B1 | 19840914 | | | | |
| | ES 481026 | A1 | 19800201 | ES | 1979-481026 | 19790529 | |
| | US 4239709 | Α | 19801216 | US | 1979-43462 | 19790529 | |
| | | | | | | | |

IT 73837-99-7P 74457-85-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and chlorination of)

RN 73837-99-7 CAPLUS

CN Ethanol, 2,2'-[[tetrahydro-2-oxido-3-(1-phenylethyl)-2H-1,3,2-oxazaphosphorin-2-yl]imino]bis-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 74457-85-5 CAPLUS

CN Ethanol, 2,2'-[[tetrahydro-2-oxido-3-(1-phenylethyl)-2H-1,3,2-oxazaphosphorin-2-yl]imino]bis-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 32 OF 45 CAPLUS COPYRIGHT 2001 ACS 1980:426467 Document No. 93:26467 Oxazaphospholine derivatives. Sato, Tadao

(Otsuka Pharmaceutical Co., Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 54157581 19791212 Showa, 10 pp. (Japanese). CODEN: JKXXAF. APPLICATION:

JP 1978-64682 19780529.

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------|------|----------|-----------------|----------|
| | | | | | |
| PI | JP 54157581 | A2 | 19791212 | JP 1978-64682 | 19780529 |
| | JP 63000439 | B4 | 19880107 | | |

IT 73834-60-3P 73837-99-7P

RN 73834-60-3 CAPLUS

CN Ethanol, 2,2'-[[tetrahydro-2-oxido-3-(1-phenylethyl)-2H-1,3,2-oxazaphosphorin-2-yl]imino]bis-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 73837-99-7 CAPLUS

CN Ethanol, 2,2'-[[tetrahydro-2-oxido-3-(1-phenylethyl)-2H-1,3,2-oxazaphosphorin-2-yl]imino]bis-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 33 OF 45 CAPLUS COPYRIGHT 2001 ACS

1979:557741 Document No. 91:157741 Organophosphorus ring compounds. Rinl, Hansjoerg (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften

e.V.,
Fed. Rep. Ger.). Ger. Offen. DE 2752

Fed. Rep. Ger.). Ger. Offen. DE 2752125 19790523, 56 pp. (German). CODEN: GWXXBX. APPLICATION: DE 1977-2752125 19771122.

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------------|------------|--------------|-----------------|----------|
| | | | | | |
| PI | DE 2752125 | A 1 | 19790523 | DE 1977-2752125 | 19771122 |
| | AT 7808075 | Α | 19820115 | AT 1978-8075 | 19781110 |
| | AT 368166 | В | 19820927 | | |
| - | EP 2062 | A1 | 19790530 | EP 1978-101389 | 19781117 |
| | EP 2062 | B1 | 19820505 | | |
| | R: BE, CH, | FR, GB | , LU, NL, SE | | |
| | DK 7805184 | Α | 19790523 | DK 1978-5184 | 19781121 |
| | DK 168385 | B1 | 19940321 | | |
| | JP 54081224 | A2 | 19790628 | JP 1978-143582 | 19781122 |
| | AT 8102677 | Α | 19860815 | AT 1981-2677 | 19810616 |
| | AT 382623 | В | 19870325 | : | |
| TM | 71001 06 05 7100 | 4 00 4 | | | |

TT 71321-36-3P 71321-37-4P 71321-43-2P 71321-57-8P 71321-58-9P 71321-67-0P

RN 71321-36-3 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 2-(hexadecyloxy)tetrahydro-, 2-oxide (9CI)

(CA

INDEX NAME)

RN 71321-37-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 2-(hexadecyloxy)tetrahydro-3-methyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 71321-43-2 CAPLUS

CN Hexadecanoic acid, 3-[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)oxy]propyl ester (9CI) (CA INDEX NAME)

RN 71321-57-8 CAPLUS

CN Hexadecanoic acid, 1-[[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)oxy]methyl]-1,2-ethanediyl ester, (1R)- (9CI) (CA INDEX NAME)

RN 71321-58-9 CAPLUS

CN Hexadecanoic acid, 2-[[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)oxy]methyl]-1,3-propanediyl ester (9CI) (CA INDEX NAME)

RN 71321-67-0 CAPLUS

CN Eicosanoic acid, 2-(phenylmethoxy)-3-[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)oxy]propyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 34 OF 45 CAPLUS COPYRIGHT 2001 ACS

1977:468492 Document No. 87:68492 Pharmaceutical cis-1,2-epoxypropylphosphonic acid derivatives. De Lassauniere, Chabrier; Nguyen Thanh Thuong; Warolin, Christian Jean Marie (Agence Nationale de Valorisation de la Recherche, Fr.; Societe d'Etudes et d'Applications Biologiques (SAB)). Ger. Offen. DE 2632136 19770224, 66 pp. (German). CODEN: GWXXBX. PRIORITY: GB 1975-29918 19750716.

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|----------|
| | | | | | |
| ΡI | DE 2632136 | A1 | 19770224 | DE 1976-2632136 | 19760716 |
| | GB 1566252 | Α | 19800430 | GB 1975-29918 | 19750716 |
| | FR 2317936 | A1 | 19770211 | FR 1976-20889 | 19760708 |

JP 52042821 A2 19770404 JP 1976-84887 19760716 US 4129660 A 19781212 US 1977-801921 19770531

IT 63581-86-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, with propenylphosphonic acid)

RN 63581-86-2 CAPLUS

CN Methanaminium, N,N,N-trimethyl-, salt with tetrahydro-2-hydroxy-3-(1-methylethyl)-2H-1,3,2-oxazaphosphorine 2-oxide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 63581-85-1 CMF C6 H13 N O3 P

CM 2

CRN 51-92-3 CMF C4 H12 N

IT 63581-84-0P

RN 63581-84-0 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-methoxy-3-(1-methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

L8 ANSWER 35 OF 45 CAPLUS COPYRIGHT 2001 ACS 1977:416039 Document No. 87:16039 Ionene polymers for selectively inhibiting

the vitro growth of malignant cells. Rembaum, Alan (California Institute

of Technology, USA). U.S. US 4013507 19770322, 11 pp. (English). CODEN:

USXXAM. APPLICATION: US 1973-398376 19730918.

IT 62766-73-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as neoplasm inhibitor)

RN 62766-73-8 CAPLUS

CN Poly[(dimethyliminio)-1,2-ethanediyl[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]-1,2-ethanediyl(dimethyliminio)-1,6-hexanediyl dichloride] (9CI) (CA INDEX NAME)

●2 C1-

L8 ANSWER 36 OF 45 CAPLUS COPYRIGHT 2001 ACS

1976:463098 Document No. 85:63098 4-Hydroperoxytetrahydro-2H-1,3,2-oxazaphosphorin 2-oxide derivatives. Takamizawa, Akira; Iwata, Tsuoyoshi (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2552135 19760526, 14 pp. (German). CODEN: GWXXBX. PRIORITY: JP 1974-133257 19741120.

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------|------------|----------|-----------------|----------|
| | | | | | - |
| PΙ | DE 2552135 | A1 | 19760526 | DE 1975-2552135 | 19751120 |
| | JP 51059886 | A2 | 19760525 | JP 1974-133257 | 19741120 |
| | CA 1051914 | A 1 | 19790403 | CA 1975-239381 | 19751107 |
| | SE 7513007 | Α | 19760521 | SE 1975-13007 | 19751119 |
| | FR 2291763 | A 1 | 19760618 | FR 1975-35387 | 19751119 |
| | СН 602777 | Α | 19780731 | CH 1975-15008 | 19751119 |
| | NL 7513590 | Α | 19760524 | NL 1975-13590 | 19751120 |
| | AU 7586816 | A1 | 19770526 | AU 1975-86816 | 19751120 |
| | AU 500813 | B2 | 19790531 | | |

IT 60052-94-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and immunosuppressant activity of)

RN 60052-94-0 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-4-hydroperoxy-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]methylamino]-, 1-methanesulfonate (9CI) (CA INDEX NAME)

ANSWER 37 OF 45 CAPLUS COPYRIGHT 2001 ACS

1974:413758 Document No. 81:13758 Amidocyclothiophosphates. Jastorff, Bernd; Krebs, Traute (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V.). Ger. Offen. DE 2247782 19740404, 27 pp. (German). CODEN: GWXXBX. APPLICATION: DE 1972-2247782 19720929.

PATENT NO. KIND DATE APPLICATION NO.

DE 1972-2247782 19720929 PΙ DE 2247782 19740404 A1

42029-43-6P 42133-33-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 42029-43-6 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(hydroxymercaptophosphinyl)octylamino]-, intramol.

5',3'-ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$\begin{pmatrix} (CH_2) & 7 \\ HS & P \\ O & H \end{pmatrix}$$
 OH OH

RN 42133-33-5 CAPLUS

Adenosine, 5'-deoxy-5'-[(hydroxymercaptophosphinyl)methylamino]-, CN intramol. 5', 3'-ester (9CI) (CA INDEX NAME)

ANSWER 38 OF 45 CAPLUS COPYRIGHT 2001 ACS

1973:505303 Document No. 79:105303 Immunosuppressive oxazaphosphorine Arnold, Herbert; Bourseauc, Friedrich; Potel, Juergen; Brock, Norbert (Asta-Werke A.-G. Chemische Fabrik). Ger. Offen. DE 2201675

19730719, 16 pp. Addn. to Ger. Offen. 2,107,936 (CA 77;152238 m). (German). CODEN: GWXXBX. APPLICATION: DE 1972-2201675 19720114.

| | PATENT NO. | KIND | DATE | | PLICATION NO. | DATE |
|----|-------------|-------------|----------|----|---------------|----------|
| ΡI | DE 2201675 | A1 | 19730719 | DE | 1972-2201675 | 19720114 |
| | CH 581145 | A | 19761029 | | 1972-1349 | 19720131 |
| | CH 586230 | A | 19770331 | CH | 1975-11836 | 19720131 |
| | CH 596231 | Α | 19780315 | CH | 1975~11835 | 19720131 |
| | US 3828090 | Α | 19740806 | US | 1972-225273 | 19720210 |
| | AU 7238914 | A1 | 19730816 | AU | 1972-38914 | 19720211 |
| | DD 94812 | С | 19730112 | DD | 1972-160930 | 19720217 |
| | SE 381464 | В | 19751208 | SE | 1972-1916 | 19720217 |
| | BE 779516 | A1 | 19720616 | BE | 1972-51680 | 19720218 |
| | NL 7202177 | Α | 19720822 | NL | 1972-2177 | 19720218 |
| | NL 170287 | В | 19820517 | | | |
| | NL 170287 | . C | 19821018 | | | |
| | FR 2125595 | A1 | 19720929 | FR | 1972-5549 | 19720218 |
| | FR 2125595 | A5 | 19720929 | | | |
| | FR 2125595 | B1 | 19750314 | | | |
| | CA 957696 | A1 | 19741112 | | 1972-135044 | 19720218 |
| | ES 399910 | A1 | 19750616 | | 1972-399910 | 19720218 |
| | HU 169427 | P | 19761128 | | 1972-AA698 | 19720218 |
| | DK 137013 | В | 19780102 | | 1972-761 | 19720218 |
| | FI 56387 | В | 19790928 | FI | 1972-445 | 19720218 |
| | FI 56387 | С | 19800110 | | | |
| | JP 56020317 | B4 | 19810513 | | 1972-16556 | 19720218 |
| | GB 1341170 | Α | 19731219 | | 1972-7881 | 19720221 |
| | US 3910982 | A | 19751007 | | 1974-436173 | 19740124 |
| | JP 56097293 | A2 | 19810805 | JP | 1980-152998 | 19801101 |
| | JP 58043396 | В4 | 19830927 | | | |
| | JP 56097294 | A2 | 19810805 | JP | 1980-152999 | 19801101 |
| | JP 59001716 | B4 | 19840113 | | | |
| IT | 40722-71-2P | 40722-72-31 | ? | | | |

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN

40722-71-2 CAPLUS 2-Propanol, 1-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-CN oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

40722-72-3 CAPLUS RN

CN 1-Butanol, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

IT 40722-88-1 40722-89-2

RL: RCT (Reactant)

(reaction of, with methanesulfonyl chloride)

RN ---40722-88-1- CAPLUS

CN 2-Propanol, 1-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 40722-89-2 CAPLUS

CN 1-Butanol, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

L8 ANSWER 39 OF 45 CAPLUS COPYRIGHT 2001 ACS

1973:111377 Document No. 78:111377 Alkylsulfonic esters of 1,3,2-oxazaphosphorinones. (Asta-Werke A.-G.). Fr. Demande FR 2125595 19721103, 31 pp. (French). CODEN: FRXXBL. PRIORITY: DE 1971-2107936 19710219.

| | 19710219.
PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------------------|------------|---------------|-----------------|----------|
| PI | FR 2125595 | A1 | 19720929 | FR 1972-5549 | 19720218 |
| | FR 2125595 | A 5 | 19720929 | | |
| | FR 2125595 | B1 | 19750314 | | |
| | DE 2107936 | Α | 19720907 | DE 1971-2107936 | 19710219 |
| | DE 2107936 | В2 | 19771020 | | |
| | DE 2201675 | A1 | 19730719 | DE 1972-2201675 | 19720114 |
| ΙT | 37752-22-0P | 37752-26-4 | P 37752-27-5P | | |
| | 37752-30-0P | 37752-31-1 | P 37752-32-2P | | |
| | 37752-35-5P | 37752-36-6 | P 37752-38-8P | | |
| | | | P 37752-41-3P | | |
| | | | P 37752-44-6P | | |
| | | | P 37753-12-1P | | |
| | 37753-15-4P | 37753-16-5 | P 40722-39-2P | | |

40722-40-5P 40722-71-2P 40722-72-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 37752-22-0 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-5,5-dimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37752-26-4 CAPLUS

CN 1-Propanol, 3-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-27-5 CAPLUS

CN 1-Propanol, 3-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37752-30-0 CAPLUS

CN 1-Propanol, 3,3'-[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]bis-, dimethanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-31-1 CAPLUS

CN 1-Propanol, 3,3'-[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]bis-(9CI) (CA INDEX NAME)

RN 37752-32-2 CAPLUS

CN 1-Propanol, 3,3'-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]imino]bis-, dimethanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-35-5 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-5,5-dimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-36-6 CAPLUS

CN Ethanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 37752-38-8 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-6-methyl-2-oxido-2H-1,3,2-

oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-39-9 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-6-methyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37752-40-2 CAPLUS

CN Ethanol, 2-[(3-ethyltetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-41-3 CAPLUS

CN 1-Propanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 37752-42-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-ethanol, 2-[bis[2-[(methylsulfonyl)oxy]ethyl]amino]dihydro-, methanesulfonate (ester), 2-oxide (9CI) (CA INDEX NAME)

RN 37752-43-5 CAPLUS

CN 2-Propanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1CH}_2-\text{CH}_2 \\ \hline & \text{O} \\ & \text{N} \\ \hline & \text{N} \\ & \text{N} \\ & \text{N} \\ & \text{N} \\ & \text{C} \\ & \text{C}$$

RN 37752-44-6 CAPLUS

CN 1-Pentanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 37753-09-6 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37753-10-9 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37753-12-1 CAPLUS

CN 2H-1,3,2-0xazaphosphorine-3(4H)-ethanol, dihydro-2-[[2-[(methylsulfonyl)oxy]ethyl]amino]-, methanesulfonate (ester); 2-oxide (9CI) (CA INDEX NAME)

RN 37753-15-4 CAPLUS

CN Ethanol,

2-[[3-(3-chloropropyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37753-16-5 CAPLUS

CN Ethanol,

2-[[3-(3-chloropropyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 40722-39-2 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]ethylamino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

40722-40-5 CAPLUS RN

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]ethylamino]- (9CI) -(CA INDEX NAME)

RN

40722-71-2 CAPLUS 2-Propanol, 1-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-CN oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX

RN 40722-72-3 CAPLUS

CN 1-Butanol, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

IT 40722-88-1 40722-89-2

> RL: RCT (Reactant) (sulfonation of)

40722-88-1 CAPLUS RN

2-Propanol, 1-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-CN oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 40722-89-2 CAPLUS

CN 1-Butanol, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

L8 ANSWER 40 OF 45 CAPLUS COPYRIGHT 2001 ACS 1973:97723 Document No. 78:97723 Pharmaceutical

2-amino-4-hydroperoxy-1-oxa-

3-aza-2-phosphacyclopentane- and-cyclohexane 2-oxides. Takamizawa, Akira;

Iwata, Tsuyoshi (Shionogi and Co., Ltd.). Ger. Offen. DE 2231311
19730111, 1 pp. (German). CODEN: GWXXBX. PRIORITY: JP 1971-47045
19710628.

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------|------------|----------|-----------------|----------|
| | | | | | |
| ΡI | DE 2231311 | A1 | 19730111 | DE 1972-2231311 | 19720626 |
| | JP 49048554 | B4 | 19741221 | JP 1971-47045 | 19710628 |
| | JP 48034188 | A2 | 19730516 | JP 1971-69455 | 19710908 |
| | JP 52030518 | B4 | 19770809 | | |
| | JP 48086870 | A 2 | 19731115 | JP 1972-19161 | 19720224 |
| | FR 2147956 | A1 | 19730316 | FR 1972-23230 | 19720627 |

IT 39800-24-3P 39800-26-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 39800-24-3 CAPLUS

CN Hydroperoxide, 3-(2-chloroethyl)-2-(diethylamino)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl (9CI) (CA INDEX NAME)

RN 39800-26-5 CAPLUS

CN Hydroperoxide, 3-(2-chloroethyl)tetrahydro-2-oxido-2-(phenylamino)-2H-1,3,2-oxazaphosphorin-4-yl (9CI) (CA INDEX NAME)

L8 ANSWER 41 OF 45 CAPLUS COPYRIGHT 2001 ACS
1972:552238 Document No. 77:152238 Tetrahydro-2H-1,3,2-oxazaphosphorine
2-oxide derivatives. Arnold, Herbert; Bourseaux, Friedrich; Potel,
Juergen; Brock, Norbert (Asta-Werke A.-G. Chemische Fabrik). Ger. Offen.
DE 2107936 19720907, 42 pp. (German). CODEN: GWXXBX. APPLICATION: DE
1971-2107936 19710219.

| | PATENT NO. | KIND | DATE | AP: | PLICATION NO. | DATE |
|----|-------------|-------------|--------------------------------|-----|---------------|----------|
| ΡI | DE 2107936 | A | 19720907 | DE | 1971-2107936 | 19710219 |
| | DE 2107936 | В2 | 19771020 | | | |
| | CH 581145 | A | 19761029 | CH | 1972-1349 | 19720131 |
| | CH 586230 | Α | 19770331 | CH | 1975-11836 | 19720131 |
| | СН 596231 | Α | 19780315 | CH | 1975-11835 | 19720131 |
| | ZA 7200740 | Α | 19721025 | ZA | 1972-740 | 19720204 |
| | AT 311381 | В | 19731112 | ΑT | 1972-912 | 19720204 |
| | AT 318652 | В | 19741111 | ΑT | 1972-11102 | 19720204 |
| | US 3828090 | Α | 19740806 | US | 1972-225273 | 19720210 |
| | AU 7238914 | A1 | 19730816 | AU | 1972-38914 | 19720211 |
| | CS 167967 | P | 19760528 | CS | 1972-965 | 19720215 |
| | DD 94812 | С | 19730112 | | 1972-160930 | 19720217 |
| | SE 381464 | В | 19751208 | SE | 1972-1916 | 19720217 |
| | BE 779516 | A1 | 19720616 | BE | 1972-51680 | 19720218 |
| | NL 7202177 | Α | 19720822 | NL | 1972-2177 | 19720218 |
| | NL 170287 | В | 19820517 | | | |
| | NL 170287 | С | 19821018 | | | |
| | FR 2125595 | A1 | 19720929 | FR | 1972-5549 | 19720218 |
| | FR 2125595 | A 5 | 19720929 | | | |
| | FR 2125595 | B1 | 19750314 | | | |
| | CA 957696 | A1 | 19741112 | | 1972-135044 | 19720218 |
| | SU 453845 | D | 19741215 | | 1972-1749700 | 19720218 |
| | SU 461510 | D | 19750225 | | 1972-1920572 | 19720218 |
| | ES 399910 | A1 | 19750616 | | 1972-399910 | 19720218 |
| | HU 169427 | P | 19761128 | | 1972-AA698 | 19720218 |
| | DK 137013 | В | 19780102 | | 1972-761 | 19720218 |
| | FI 56387 | В | 19790928 | FΊ | 1972-445 | 19720218 |
| | FI 56387 | C | 19800110 | | 1070 1656 | 10700010 |
| | JP 56020317 | В4 | 19810513 | | 1972-16556 | 19720218 |
| | GB 1341170 | A | 19731219 | | 1972-7881 | 19720221 |
| | SU 518137 | D | 19760615 | | 1973-1920105 | 19730516 |
| | US 3910982 | A | 19751007 | | 1974-436173 | 19740124 |
| | JP 56097293 | A2 | 19810805 | JP | 1980-152998 | 19801101 |
| | JP 58043396 | B4 | 19830927 | 7.0 | 1000 150000 | 10001101 |
| | JP 56097294 | A2 | 19810805 | JP | 1980-152999 | 19801101 |
| ΙT | JP 59001716 | B4 | 19840113 | | | |
| 11 | | | 9 37752-27-5P
9 37752-32-2P | | | |
| | | | 37752-32-2P
37752-38-8P | | | |
| | | | 2 37752-38-8P
2 37752-41-3P | | | |
| | | | 37752-41-3P | | | |
| | 31132-42-4F | 31132-43-31 | . 31134-44-0P | | | |

37753-09-6P 37753-10-9P 37753-12-1P

37753-15-4P 37753-16-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 37752-22-0 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-5,5-dimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37752-26-4 CAPLUS

CN 1-Propanol, 3-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-27-5 CAPLUS

CN 1-Propanol, 3-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37752-30-0 CAPLUS

CN 1-Propanol, 3,3'-[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]bis-, dimethanesulfonate (ester) (9CI) (CA INDEX NAME)

RN

37752-31-1 CAPLUS 1-Propanol, 3,3'-[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-CN yl)imino]bis- (9CI) (CA INDEX NAME)

37752-32-2 CAPLUS RN

CN 1-Propanol, 3,3'-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2oxazaphosphorin-2-yl]imino]bis-, dimethanesulfonate (ester) (9CI) (CA INDEX NAME)

37752-35-5 CAPLUS RN

Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-5,5-dimethyl-2-oxido-2H-1,3,2-CN oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

37752-36-6 CAPLUS RN

Ethanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-CN oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

37752-38-8 CAPLUS RN

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-6-methyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-39-9 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-6-methyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37752-40-2 CAPLUS

CN Ethanol, 2-[(3-ethyltetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-41-3 CAPLUS

CN 1-Propanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 37752-42-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-ethanol, 2-[bis[2-[(methylsulfonyl)oxy]ethyl]amino]dihydro-, methanesulfonate (ester),

2-oxide (9CI) (CA INDEX NAME)

$$\begin{tabular}{c|ccccc} O & Me$-$S$-$O$-$CH_2$-$CH_2$ & O &$$

RN 37752-43-5 CAPLUS

CN 2-Propanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2:-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 37752-44-6 CAPLUS

CN 1-Pentanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 37753-09-6 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37753-10-9 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-

RN 37753-12-1 CAPLUS CN = 2H-1,3,2-Oxazaphosphorine-3(4H)-ethanol, dihydro-2-[[2-[(methylsulfonyl)oxy]ethyl]amino]-, methanesulfonate (ester), 2-oxide (9CI) (CA INDEX NAME)

RN 37753-15-4 CAPLUS

CN Ethanol,

2-[[3-(3-chloropropyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37753-16-5 CAPLUS

CN Ethanol,

2-[[3-(3-chloropropyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

L8 ANSWER 42 OF 45 CAPLUS COPYRIGHT 2001 ACS
1972:99996 Document No. 76:99996 N,O-[5'-Deoxynucleosyl-(5'-3')]cyclophosphoramidates. Murayama, Akira; Hettler, Hans; Jastorff,

Bernd (Merck Patent G.m.b.H.). Ger. Offen. DE 2032436 19720113, 18 pp. (German). CODEN: GWXXBX. APPLICATION: DE 1970-2032436 19700701.

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------|------------|----------|-----------------|----------|
| ΡI | DE 2032436 |
A | 19720113 | DE 1970-2032436 | 19700701 |
| | GB 1300196 | A | 19721220 | GB 1971-1300196 | 19710608 |
| | IL 37008 | A1 | 19740910 | IL 1971-37008 | 19710609 |
| | FR 2100848 | A1 | 19720324 | FR 1971-23670 | 19710629 |
| | FR 2100848 | A 5 | 19720324 | | |
| | AT 300834 | В | 19720810 | AT 1971-5672 | 19710630 |
| | CH 545816 | Α | 19740215 | CH 1971-9602 | 19710630 |
| | ES 392757 | A 1 | 19740501 | ES 1971-392757 | 19710630 |
| | "SE 372947" | В | 19750120 | "SE 1971-8469 | 19710630 |
| | NL 7109102 | Α | 19720104 | NL 1971-9102 | 19710701 |
| | US 3846401 | Α | 19741105 | US 1971-159001 | 19710701 |

IT 29845-61-2P 29845-65-6P 30765-20-9P 35901-38-3P 35901-40-7P 35908-19-1P

35908-20-4P

RN 29845-61-2 CAPLUS

CN 2H-Furo[2,3-e]-1,3,2-oxazaphosphorin-7-ol, 6-(6-amino-9H-purin-9-yl)hexahydro-2-hydroxy-, 2-oxide,

[4aR-(4a.alpha.,6.beta.,7.alpha.,7a.beta .)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 29845-65-6 CAPLUS

CN Adenosine, 5'-deoxy-5'-[[hydroxy(4-nitrophenoxy)phosphinyl]methylamino]-, intramol. 5',3'-ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 30765-20-9 CAPLUS

CN Adenosine,
5'-deoxy-5'-[[hydroxy(4-nitrophenoxy)phosphinyl](phenylmethyl)a
 mino]-, intramol. 5',3'-ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 35901-38-3 CAPLUS
CN Thymidine, 5'-deoxy-5'-[[hydroxy(4-nitrophenoxy)phosphinyl]methylamino]-,
 intramol. 5',3'-ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 35901-40-7 CAPLUS
CN Adenosine, 5'-deoxy-5'-[(phenylmethyl)phosphonoamino]-, intramol.
5',3'-ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x Na

RN 35908-19-1 CAPLUS CN Adenosine, 5'-deoxy-5'-(methylphosphonoamino)-, intramol. 5',3'-ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•x Na

RN 35908-20-4 CAPLUS
CN Thymidine, 5'-deoxy-5'-(methylphosphonoamino)-, intramol. 5',3'-ester
(9CI) (CA INDEX NAME)

L8 ANSWER 43 OF 45 CAPLUS COPYRIGHT 2001 ACS

1971:448720 Document No. 75:48720 Salicylamide cyclic phosphates.

Kobayashi, Ken; Wakamori, Teruaki (Kumiai Chemical Industry Co., Ltd.).

Japan. JP 46018755 B4 19710525 Showa, 3 pp. (Japanese). CODEN: JAXXAD.

APPLICATION: JP 19680515.

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 46018755 B4 19710525 JP 19680515

IT 32941-85-8P

PI

RN 32941-85-8 CAPLUS

CN 4H-1,3,2-Benzoxazaphosphorin-4-one, 2,3-dihydro-2-(methylthio)-, 2-oxide (8CI) (CA INDEX NAME)

L8 ANSWER 44 OF 45 CAPLUS COPYRIGHT 2001 ACS
1971:22898 Document No. 74:22898 2-[Bis(2-chloroethyl)aminophenyl-4-amino]tetrahydro-2H-1,3,2-oxazaphosphorine 2-oxide, for treatment of

malignant tumors. Sandrin, Edmond; Guttmann, Stephan (Sandoz Ltd.). Swiss CH 492738 19700814, 2 pp. (German). CODEN: SWXXAS. APPLICATION:

CH 19670913.

PATENT NO. KIND DATE APPLICATION NO. DATE

CH 492738 A 19700630 CH 1967-492738 19670913

IT 30125-66-7P

PΙ

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 30125-66-7 CAPLUS

CN 2H-1, 3, 2-Oxazaphosphorine,

2-[p-[bis(2-chloroethyl)amino]anilino]-3,4,5,6tetrahydro-, 2-oxide (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{ClCH}_2-\text{CH}_2-\text{N} & & & \\ & & & \\ & & & \\ \text{ClCH}_2-\text{CH}_2 & & \\ \end{array}$$

L8 ANSWER 45 OF 45 CAPLUS COPYRIGHT 2001 ACS

1970:466728 Document No. 73:66728 .alpha.-(Phosphinylmethyl)acrylic compounds. Morita, Kenichi; Miyaji, Hiroshi (Toray Industries, Inc.). Japan. JP 45017164 B4 19700613 Showa, 5 pp. (Japanese). CODEN: JAXXAD.

APPLICATION: JP 19671117.

PATENT NO. KIND DATE APPLICATION NO. DATE
PI JP 45017164 B4 19700613 JP 19671117

IT 27524-81-8P

RN 27524-81-8 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-2-propionitrile, tetrahydro-.beta.,3-dimethyl-.alpha.-methylene-, 2-oxide (8CI) (CA INDEX NAME)

=> d his

(FILE 'HOME' ENTERED AT 16:42:04 ON 16 AUG 2001)

FILE 'REGISTRY' ENTERED AT 16:42:15 ON 16 AUG 2001

L1 STRUCTURE UPLOADED

L2 50 S L1

L3 1955 S L1 SSS FULL

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STRUCTURE UPLOADED
L4
            957 S L4 SSS FULL SUB=L3
L5
            998 S L3 NOT L5
L6
     FILE 'CAPLUS' ENTERED AT 16:47:40 ON 16 AUG 2001
L7
            370 S L6
             45 S L7 AND P/DT
1.8
=> s 17 and prodrug?
          8159 PRODRUG?
             5 L7 AND PRODRUG?
=> d 1-5 cbib pi hitstr abs
     ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS
2000:628142
              Document No. 133:213194 Novel phosphorus-containing
     prodrugs. Erion, Mark D.; Reddy, K. Raja; Boyer, Serge H.
     (Metabasis Therapeutics, Inc., USA). PCT Int. Appl. WO 2000052015 A2
     20000908, 202 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB,
     BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE,
     GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
     LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
     SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
     BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY,
     DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE,
     SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 2000-US5672
     20000303. PRIORITY: US 1999-PV123013 19990305; US 1999-PV153127
19990908.
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO.
                                                              DATE
     ______
                                                              20000303
     WO 2000052015
                       A2
                             20000908
                                            WO 2000-US5672
PI
     WO 2000052015
                       A3
                             20010215
             AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     261370-70-1P 290362-15-1P 290362-16-2P
IT
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (phosphoramidate prodrugs)
     261370-70-1 CAPLUS
RN
     2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-
CN
     phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX
     NAME)
```

Relative stereochemistry.

RN 290362-15-1 CAPLUS

CN 9H-Purin=6=amine, 9-[5-0-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-16-2 CAPLUS

CN 6H-Purin-6-one,

2-amino-1,9-dihydro-9-[[2-[(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)oxy]ethoxy]methyl]- (9CI) (CA INDEX NAME)

IT 290362-20-8P 290362-25-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (phosphoramidate prodrugs)

RN 290362-20-8 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-(4-nitrophenoxy)-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

```
NH NO2
```

RN 290362-25-3 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine,
tetrahydro-3-methyl-2-(4-nitrophenoxy)-4-phenyl, 2-oxide (9CI) (CA INDEX NAME)

100

IT 261370-68-7P 261370-69-8P 261370-71-2P 261370-73-4P 261370-74-5P 261370-76-7P 280781-83-1P 290362-12-8P 290362-13-9P 290362-14-0P 290362-17-3P 290362-18-4P 290362-19-5P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (phosphoramidate prodrugs) 261370-68-7 CAPLUS RN 2H-1,3,2-Oxazaphosphorine, CN tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, (2R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-69-8 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine,
tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, (2R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-71-2 CAPLUS

CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEXNAME)

Relative stereochemistry.

RN 261370-73-4 CAPLUS

CN 2-Thiazolamine, 5-(methylthio)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-74-5 CAPLUS

CN 2-Thiazolamine, 5-(methylthio)-4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-76-7 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 280781-83-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 3-acetyl-2-[5+[2-amino-5-(2-methylpropyl)-4-thiazolyl]-2-furanyl]tetrahydro-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 290362-12-8 CAPLUS

CN 2-Thiazolamine, 4-[5-[4-(2,4-dichlorophenyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \\ \text{NH} \\ \\ \text{O} \\ \\ \text{O} \\ \\ \text{i-Bu} \end{array} \qquad \begin{array}{c} \text{N} \\ \text{NH}_2 \\ \\ \text{S} \end{array}$$

RN 290362-13-9 CAPLUS

CN Adenosine, 2',3'-dideoxy-5'-O-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-14-0 CAPLUS

CN Thymidine, 3'-azido-3'-deoxy-5'-O-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-17-3 CAPLUS

CN Uridine, 2'-deoxy-5-fluoro-5'-0-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-18-4 CAPLUS

CN 6H-Purin-6-one,

2-amino-1,9-dihydro-9-[[2-[(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)oxy]ethoxy]methyl]- (9CI) (CA INDEX NAME)

RN 290362-19-5 CAPLUS

CN 9H-Purin-6-amine, 9-[5-0-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AB Novel cyclic phosphoramidate **prodrugs** of drugs are prepd. for delivery to the liver and in enhancing oral bioavailability. An example compd. prepd. was 5-isobutyl-2-methyl-4-[2-[5-(1-phenyl-1,3-propanediyl)phosphorimido]furanyl]thiazole. Other examples include activation of phosphoramidate **prodrugs** by human microsomes and the identification and tissue distribution of the microsomal enzymes involved in activation.

L9 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2001 ACS

2000:456867 Document No. 133:84284 A combination of fructose-1,6bisphosphatase (FBPase) inhibitors and insulin sensitizers for the treatment of diabetes. Erion, Mark D.; Vanpoelje, Paul (Metabasis Therapeutics, Inc., USA). PCT Int. Appl. WO 2000038666 A2 20000706, 306 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US30713 19991222. PRIORITY: US 1998-PV114718 19981224.

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2000038666 A2 20000706 WO 1999-US30713 19991222

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,

RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

IT 261370-76-7P 261370-79-0P 261370-80-3P

280781-78-4DP, isomers 280781-79-5DP, isomers

280781-81-9DP, isomers 280781-83-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fructose-1,6-bisphosphatase inhibitor-insulin sensitizer combination for diabetes treatment, and inhibitor prepn.)

RN - 261370-76-7 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 261370-79-0 CAPLUS

CN 2-Thiazolamine, 4-[5-[6-(3,5-dichlorophenyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 261370-80-3 CAPLUS

CN 2-Thiazolamine, 4-[5-(1,4-dihydro-2-oxido-2H-3,1,2-benzoxazaphosphorin-2-yl)-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 280781-78-4 CAPLUS

CN 2H-1, 3, 2-Oxazaphosphorine,

tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4-

thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 280781-79-5 CAPLUS

CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 280781-81-9 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 280781-83-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 3-acetyl-2-[5-[2-amino-5-(2-methylpropyl)-4-thiazolyl]-2-furanyl]tetrahydro-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

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diseases responding to increased glycemic control, an improvement in
     insulin sensitivity, a redn. in insulin levels, or an enhancement of
     insulin secretion.
     ANSWER 3 OF 5 CAPLUS COPYRIGHT 2001 ACS
              Document No. 132:222529 Preparation of heteroaromatic
2000:175817
     phosphonates as fructose 1,6-bisphosphatase inhibitors. Dang, Qun;
     Kasibhatla, Srinivas Rao; Reddy, K. Raja; Erion, Mark D.; Reddy, M. Rami;
     Agarwal, Atul (Metabasis Therapeutics, Inc., USA). PCT Int. Appl. WO
     2000014095 Al 20000316, 338 pp. DESIGNATED STATES: W: AE, AL, AM, AT,
     AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES,
     FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ,
     LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO,
     RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW,
     AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI,
     CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL,
     PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO
     1999-US20346 19990903. PRIORITY: US 1998-135504 19980909; US 1998-111077
     19981207.
                                              APPLICATION NO. DATE
     PATENT NO.
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                      A1 20000316
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             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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IT
     261370-67-6P 261370-68-7P 261370-69-8P
     261370-70-1P 261370-71-2P 261370-72-3P
     261370-73-4P 261370-74-5P 261370-75-6P
     261370-76-7P 261370-77-8P 261370-79-0P
     261370-80-3P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (target compd.; prepn. of heteroarom. phosphonates as fructose
        1,6-bisphosphatase inhibitors via high throughput and std. synthetic
        methods)
     261370-67-6 CAPLUS
     2H-1,3,2-Oxazaphosphorine,
tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4-
     thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, monohydrochloride (9CI)
     INDEX NAME)
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Pharmaceutical compns. contg. an FBPase inhibitor and an insulin

sensitizer are provided as well as methods for treating diabetes and

AB

- HCl

RN 261370-68-7 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine,
tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, (2R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-69-8 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine,
tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, (2R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-70-1 CAPLUS
CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-71-2 CAPLUS

CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-72-3 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 261370-73-4 CAPLUS

CN 2-Thiazolamine, 5-(methylthio)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-74-5 CAPLUS

CN '2-Thiazolamine, 5= (methylthio) -4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-75-6 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 261370-76-7 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 261370-77-8 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 3-acetyl-2-[5-[2-amino-5-(methylthio)-4-thiazolyl]-2-furanyl]tetrahydro-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 261370-79-0 CAPLUS

CN 2-Thiazolamine, 4-[5-[6-(3,5-dichlorophenyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 261370-80-3 CAPLUS

CN 2-Thiazolamine, 4-[5-(1,4-dihydro-2-oxido-2H-3,1,2-benzoxazaphosphorin-2-yl)-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

$$Bu-i$$
 H_2N
 PO_3H_2
 II

The title compds. R5XP(0)(YR1)2 [I; wherein X = (un)substituted (cyclic) AB linking group between R5 and P via 1-4 atoms, including 0-1 N, O, or S atoms; or X = urea or carbamate; Y = independently 0 or NR6; when <math>Y = 0, R1 = H, alkyl, (un) substituted (alkyl) aryl or alicyclic, C(R2) 20C(O) NR22; NR2C(0)R3, C(R2)2OC(0)R3, etc.; when Y = NR6, R1 = H, [C(R2)2]qC(0)OR3, C(R4)2C(O)OR3, [C(R2)2]qC(O)SR3, cycloalkylene-C(O)OR3, etc.; R2 = H or R3; R3 = (ar)alkyl, aryl, or alicyclic; R4 = H, alkyl, etc.; R5 = (un) substituted benzothiazolyl, benzoxazolyl, thiazolyl, (is) oxazolyl, imidazolyl, pyrazolyl, tetrazolyl, pyridyl, pyrimidinyl, pyrazinyl, etc.; R6 = H, (acyloxy)alkyl, alkoxycarbonyloxyalkyl, or acyl; q = 1-2], and their prodrugs, were prepd. via high throughput and std. synthetic methods. I and their prodrugs were tested for a variety of biol. activities including inhibition of fructose 1,6-bisphosphatase (FBPase) and activity toward AMP binding enzymes, such as adenosine kinase. Compds. of the invention are useful in the treatment

of diabetes and other diseases where inhibition of gluconeogenesis, control of blood glucose levels, redn. in glycogen storage, or redn. in insulin levels is beneficial. Thus, the phosphonofuranylthiazole (II)

was

prepd. and tested for inhibition of human liver FBTase (IC50 = 0.025 .mu.M), inhibition of gluconeogenesis (IC50 = 2.5 .mu.M), and blood glucose lowering (65% i.v.).

L9 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2001 ACS

1999:710255 Document No. 132:30271 Stereoselective metabolism of ifosfamide by human P-450S 3A4 and 2B6. Favorable metabolic properties of R-enantiomer. Roy, Partha; Tretyakov, Olga; Wright, Joel; Waxman, David J. (Division of Cell and Molecular Biology, Department of Biology, Boston University, Boston, MA, USA). Drug Metab. Dispos., 27(11), 1309-1318 (English) 1999. CODEN: DMDSAI. ISSN: 0090-9556. Publisher: American Society for Pharmacology and Experimental Therapeutics.

IT 83802-21-5 83802-22-6

RL: BAC (Biological activity or effector, except adverse); BPR (Biological

process); BSU (Biological study, unclassified); PRP (Properties); BIOL
(Biological study); PROC (Process)

(stereoselective metab. of ifosfamide by human P-450S 3A4 and 2B6)

RN 83802-21-5 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, 3-(2-chloroethyl)tetrahydro-, 2-oxide, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

83802-22-6 CAPLUS RN

2H-1,3,2-Oxazaphosphorin-2-amine, 3-(2-chloroethyl)tetrahydro-, 2-oxide, CN (2S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

n

The anticancer prodrug ifosfamide (IFA) contains a chiral AB phosphorous atom and is administered clin. as a racemic mixt. of R and S enantiomers. Animal model studies and clin. data indicate enantioselective differences in cytochrome P 450 (CYP) metab., pharmacokinetics, and therapeutic efficacy between the two enantiomers; however, the metab. of individual IFA enantiomers has not been fully characterized. The role of CYP enzymes in the stereoselective metab. of R-IFA and S-IFA was investigated by monitoring the formation of both 4-hydroxy (activated) and N-dechloroethyl (DCI) (inactive, neurotoxic) metabolites. In the 4-hydroxylation reaction, cDNA-expressed CYPs 3A4

and 3A5 preferentially metabolized R-IFA, whereas CYP2B6 was more active toward S-IFA. Enantioselective IFA 4-hydroxylation (R > S) was obsd.

with six of eight human liver samples. In the N-dechloroethylation reaction, CYPs 3A4 and 2B6 both catalyzed a significantly higher intrinsic metabolic

clearance (Vmax/Km) of S-IFA compared with R-IFA. Striking P 450 form specificity in the formation of individual DCI metabolites was evident. CYPs 3A4 and 3A5 preferentially produced (R)N2-DCI-IFA and (R)N3-DCI-IFA . (derived from R-IFA and S-IFA, resp.), whereas CYP2B6 correspondingly formed (S)N3-DCI-IFA and (S)N2-DCI-IFA. In human liver microsomes, the CYP3A-specific inhibitor troleandomycin suppressed (R)N2- and (R)N3-DCI-IFA formation by .gtoreq.80%, whereas (S)N2- and (S)N3-DCI-IFA formation were selectively inhibited (.gtoreq.85%) by a CYP2B6-specific monoclonal antibody. The overall extent of IFA N-dechloroethylation varied with the CYP3A4 and CYP2B6 content of each liver, but was significantly lower for R-IFA (32 .+-. 13%) than for S-IFA (62 .+-. 17%,

= 8; p < .001) in all livers examd. R-IFA thus has more favorable liver metabolic properties than S-IFA with respect to less extensive N-dechloroethylation and more rapid 4-hydroxylation, indicating that R-IFA

may have a distinct clin. advantage over racemic IFA.

ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS L9

- 1999:448687 Document No. 131:170553 A new cyclic phosphoramidate d4T prodrug approach cycloAmb-d4T-phosphoramidates. Lorey, Martina; Meier, Chris (Institut fur Organische Chemie, Universitat Hamburg, Hamburg, D-20146, Germany). Nucleosides Nucleotides, 18(4 & 5), 947-948 (English) 1999. CODEN: NUNUD5. ISSN: 0732-8311. Publisher: Marcel Dekker, Inc..
- IT 239136-33-5P 239136-34-6P 239136-35-7P

 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and hydrolysis of cycloaminobenzyl dehydrodideoxythymidine phosphoramidates a new cyclic phosphoramidate prodrug approach for d4T)

RN 239136-33-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-[[[(2R)-1,4-dihydro-2-oxido-2H-

3,1,2-benzoxazaphosphorin-2-yl]oxy]methyl]-2,5-dihydro-2-furanyl]-5-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 239136-34-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-[[[(2S)-1,4-dihydro-2-oxido-2H-

3,1,2-benzoxazaphosphorin-2-yl]oxy]methyl]-2,5-dihydro-2-furanyl]-5-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 239136-35-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-[[(1,4-dihydro-1-methyl-2-oxido-2H-3,1,2-benzoxazaphosphorin-2-yl)oxy]methyl]-2,5-dihydro-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI

A new potential phosphoramidate prodrug approach for d4T is · AB described. In hydrolyzes studies the cycloaminobenzyl-d4T-phosphoramidates, e.g. I (R1 = H, Me) proved to deliver d4TMP following a tandem reaction.

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1999:448687 Document No. 131:170553 A new cyclic phosphoramidate d4T prodrug

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GI ·

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1999:448687 Document No. 131:170553 A new cyclic phosphoramidate d4T prodrug

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GΙ

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In hydrolyzes studies the cycloaminobenzyl-d4T-phosphoramidates, e.g. I (R1 = H, Me) proved to deliver d4TMP following a tandem reaction.

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